```
=> d his

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L2            3 S L1
L3          100 S L1 FULL

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L4           32 S L3

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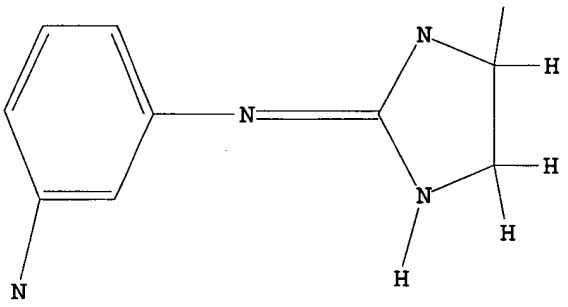


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Structure attributes must be viewed using STN Express query preparation.
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L4           32 SEA FILE=CAPLUS ABB=ON  PLU=ON  L3


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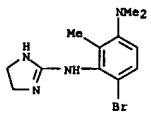
L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:196645 CAPLUS
DOCUMENT NUMBER: 142:422993
TITLE: Comparison of toxicity and toxicokinetics/pharmacokinetics of an α1L-adrenoceptor agonist in rats and rhesus monkeys
AUTHOR(S): Matsumaru, Takehisa; Sugiura, Reiko; Sakai, Kenji; Igarashi, Takashi; Kuno, Takayoshi
CORPORATE SOURCE: Division of Molecular Pharmacology and Pharmacogenomics, Department of Genome Sciences, Kobe University Graduate School of Medicine, Kobe, 650-0017, Japan
SOURCE: Journal of Pharmacological Sciences (Tokyo, Japan) (2005), 97(2), 273-283
CODEN: JPSTGJ; ISSN: 1347-8613
PUBLISHER: Japanese Pharmacological Society
DOCUMENT TYPE: Journal
LANGUAGE: English
ABSTRACT:

We have investigated the toxicity of an α1L-adrenoceptor agonist, ESR 1150 CL, and compared the toxicokinetics and pharmacokinetics in rats and monkeys. In rats, this compound induced death with remarkable sacculated aneurysms of the aorta in groups given more than 3 mg/kg per day in a 4-wk repeated oral administration study. On the other hand, these findings were not observed in monkeys during a 2-wk repeated oral administration study at doses up to 30 mg/kg per day. Orally administered ESR 1150 CL raised blood pressure transiently and dose-dependently during the 4-wk repeated study in rats, whereas no increase of blood pressure was observed during the 2-wk oral toxicity study in monkeys. Contrary to our expectation, the exposure level of ESR 1150 CL in rats was not higher than that in monkeys in the toxicokinetic evaluation. Pharmacokinetic evaluation indicated good absorption of the compound, but the bioavailability was very low in both rats and monkeys. These findings suggest that the potent species difference in toxicity of ESR 1150 CL between rats and monkeys does not depend on differences of toxicokinetics/pharmacokinetics. Rather, we suggest that the reason is likely to be species difference in the biol. susceptibility of the α1L-adrenoceptor subtypes between rats and monkeys, which would be closely related with the effect on blood pressure by α1L-adrenoceptor agonist.

IT 850715-60-5, ESR 1150CL
RL: ADV (Adverse effect, including toxicity); PKT (Pharmacokinetics); BIOL (Biological study)
(comparison of toxicity and toxicokinetics/pharmacokinetics of an α1L-adrenoceptor agonist in rats and rhesus monkeys)
RN 850715-60-5 CAPLUS
CN 1,3-Benzenediamine, 4-bromo-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1,2-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

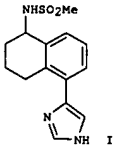


● HCl

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:377213 CAPLUS
DOCUMENT NUMBER: 141:140355
TITLE: Synthesis and Structure-Activity Studies on N-[5-(1H-Imidazol-4-yl)-5,6,7,8-tetrahydro-1-naphthalenyl]methanesulfonamide, an Imidazole-Containing α1A-Adrenoceptor Agonist
AUTHOR(S): Altenbach, Robert J.; Khilevich, Albert; Kolasa, Teodozyj; Rohde, Jeffrey J.; Bhatia, Pramila A.; Patel, Meena V.; Searle, Xenia B.; Yang, Fan; Bunnelle, William H.; Tietje, Karin; Bayburt, Erol K.; Carroll, William A.; Meyer, Michael D.; Henry, Rodger; Buckner, Steven A.; Kuk, Jane; Daza, Anthony V.; Milicic, Ivan V.; Cain, John C.; Kang, Chae H.; Ireland, Lynne M.; Carr, Tracy L.; Miller, Thomas R.; Hancock, Arthur A.; Nakane, Masaki; Esbenshade, Timothy A.; Brune, Michael E.; O'Neill, Alyssa B.; Gauvin, Donna M.; Katwala, Sweta P.; Holladay, Mark W.; Brioni, Jorge D.; Sullivan, James P.
CORPORATE SOURCE: Neuroscience Research, Global Pharmaceutical Research and Development, Abbott Laboratories, Abbott Park, IL, 60064-6123, USA
SOURCE: Journal of Medicinal Chemistry (2004), 47(12), 3220-3235
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:140355
GRAPHIC IMAGE:



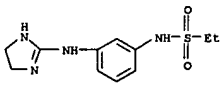
I

ABSTRACT:

Structure-activity studies were performed on the α1A-adrenoceptor (AR) selective agonist N-[5-(1H-imidazol-4-yl)-5,6,7,8-tetrahydro-1-naphthalenyl]methanesulfonamide (I). Compds. were evaluated for binding activity at the α1A, α1b, α1d, α2a, and α2B subtypes. Functional activity in tissues containing the α1A (rabbit urethra), α1B (rat spleen), α1D (rat aorta), and α2A (rat prostatic vas deferens) was also evaluated. A dog in vivo model simultaneously measuring intraurethral pressure (IUP) and mean arterial pressure (MAP) was used to assess the uroselectivity of the compds. Many of the compds. that were highly selective in vitro for the α1A-AR subtype were also more uroselective in vivo for increasing IUP over MAP than the nonselective α1-agonists phenylpropanolamine (PPA) and ST-1059 (active metabolite of midodrine), supporting the hypothesis that greater α1A selectivity would reduce cardiovascular side effects. However, the data also support a prominent role of the α1A-AR subtype in the control of MAP.

L4 ANSWER 2 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

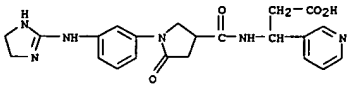
IT 725232-99-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-[(imidazolyl)tetrahydronaphthalenyl]alkanesulfonamide derivs. and study of their structure-activity relationship as α1A-adrenoceptor agonists)
RN 725232-99-5 CAPLUS
CN Ethanesulfonamide, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:362584 CAPLUS
DOCUMENT NUMBER: 141:123543
TITLE: N-Aryl-γ-lactams as integrin αvβ3 antagonists
AUTHOR(S): Xi, Ning; Arvedson, Stephen; Eisenberg, Shawn; Han, Nianhe; Handley, Michael; Huang, Liang; Huang, Qi; Kiselyov, Alexander; Liu, Qingyian; Lu, Yuelie; Nunez, Gladys; Osslund, Timothy; Powers, David; Tasker, Andrew S.; Wang, Ling; Xiang, Tingjian; Xu, Shimin; Zhang, Jiandong; Zhu, Jiawang; Kendall, Richard; Dominguez, Celia
CORPORATE SOURCE: Chemistry Research and Discovery, Amgen Inc., Thousand Oaks, CA, 91320, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(11), 2905-2909
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:123543
ABSTRACT:
Novel αvβ3 antagonists based on the N-aryl-γ-lactam scaffold were prepared SAR studies led to the identification of potent antagonists for αvβ3 receptor with excellent selectivity against the structurally related αIIbβ3 receptor. Addnl. interactions of N-aryl-γ-lactam derivs. with αvβ3 were found when compared to c(-RGDf[NMe]V-) peptide antagonist. The effects of the conformation and configuration of the γ-lactam core on the binding were also assessed.

IT **345296-20-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of N-aryl-γ-lactams as integrin αvβ3 antagonists)
RN 345296-20-0 CAPLUS
CN 3-Pyridinepropanoic acid, β-[[[1-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-5-oxo-3-pyrrolidinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS
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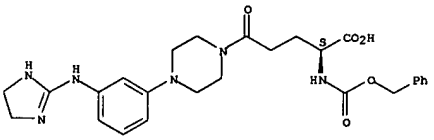
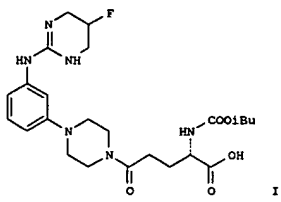
L4 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:346263 CAPLUS
DOCUMENT NUMBER: 141:89062
TITLE: Discovery of a potent and selective αvβ3 integrin antagonist with strong inhibitory activity against neointima formation in rat balloon injury model
AUTHOR(S): Iwama, Seiji; Kitano, Tomoko; Fukuya, Fumiyo; Honda, Yayoi; Sato, Yuji; Notake, Mitsue; Morie, Toshiya
CORPORATE SOURCE: Chemistry Research Laboratories, Dainippon Pharmaceutical Co., Ltd, Enoki 33-94, Osaka, Suita, 564-0053, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(10), 2567-2570
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:89062
GRAPHIC IMAGE:



I

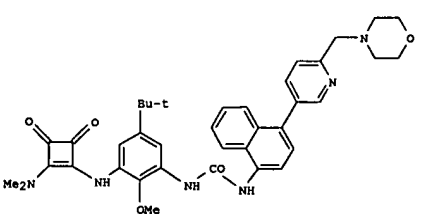
ABSTRACT:
A new series of phenylpiperazine-based derivs. with strong antagonistic activity for αvβ3 integrin were synthesized. Of these derivs., the fluorine-substituted compound I showed strong inhibitory activity and high selectivity for αvβ3 integrin receptor (IC50 = 0.055 nM). In vivo evaluation of the antistenotic effects of I indicated that this compound significantly inhibits neointima formation in rat balloon injury model.

IT **461719-43-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of phenylpiperazines as selective αvβ3 integrin antagonist)
RN 461719-43-7 CAPLUS
CN 1-Piperazinepentanoic acid, 4-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-δ-oxo-α-[[(phenylmethoxy)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:814102 CAPLUS
DOCUMENT NUMBER: 137:325421
TITLE: Preparation of morpholine-containing aromatic and heteroaromatic ureas as inhibitors of inflammatory cytokines useful as anti-inflammatory agents
INVENTOR(S): Breitfelder, Steffen; Cirillo, Pier F.; Regan, John R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002083642	A1	20021024	WO 2001-US12253	20010413
W: AE, AU, BG, CA, CN, CO, CZ, EE, HR, HU, ID, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2490819	AA	20021024	CA 2001-2490819	20010413
EP 1381594	A1	20040121	EP 2001-927024	20010413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
JP 2005504727	T2	20050217	JP 2002-581399	20010413
PRIORITY APPLN. INFO.:			WO 2001-US12253 W	20010413

OTHER SOURCE(S): CASREACT 137:325421; MARPAT 137:325421
GRAPHIC IMAGE:



I

ABSTRACT:
Disclosed are novel aromatic compds. (G-E-C(:W)-NH-Ar-X-Y-Z; e.g.1-[5-tert-butyl-3-(2-dimethylamino-3,4-dioxocyclobut-1-enylamino)-2-methoxyphenyl]-3-[4-(6-morpholin-4-ylmethylpyridin-3-yl)naphthalen-1-yl]urea (shown as I)) wherein G, E, W, Ar, X, Y and Z are defined in the claims. The compds. are useful for treating diseases or pathol. conditions involving inflammation, such as chronic

L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

inflammatory diseases. Also disclosed are pharmaceutical compns. contg. and processes of making such compds. Tests of preferred claimed compds. for inhibition of tumor necrosis factor (TNFα) prodn. in lipopolysaccharide stimulated THP cells showed IC50 < 10 μM. Sixteen example prepns. of intermediates and claimed compds. are provided. For example, to prep. I, 5-tert-butyl-2-methoxy-1,3-dinitrobenzene was added to EtOH under N2 purge and to this mixt., ammonium formate was added, followed by 10% Pd on C. To a soln.
of the formed diamine in anhyd. MeOH at 0-5° was added 3,4-dimethoxycyclobutene-1,2-dione. To a soln. of the formed intermediate in THF at 0-5° was added dimethylamine in THF. To a mixt. of this intermediate in CH2Cl2 and satd. aq. NaHCO3 at 0-5° was added phosgene in toluene followed by 1-amino-4-(6-morpholin-4-ylmethylpyridin-3-yl)naphthalene in anhyd. THF to give I.

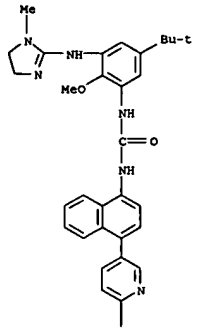
IT **404009-89-8P**, 1-[5-tert-Butyl-2-methoxy-3-(1-methyl-4,5-dihydro-1H-imidazol-2-ylamino)phenyl]-3-[4-(6-[(morpholin-4-yl)methyl]pyridin-3-yl)naphthalen-1-yl]urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of morpholine-containing aromatic and heteroarom.
ureas as inhibitors of inflammatory cytokines useful as anti-inflammatory agents)

RN 404009-89-8 CAPLUS

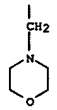
CN Urea, N-[3-[(4,5-dihydro-1-methyl-1H-imidazol-2-yl)amino]-5-(1,1-dimethylethyl)-2-methoxyphenyl]-N'-[4-[6-(4-morpholinylmethyl)-3-pyridinyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

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L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:736230 CAPLUS
DOCUMENT NUMBER: 137:263060
TITLE: Preparation of heterocyclic compounds as αvβ3 integrin inhibitors
INVENTOR(S): Morie, Toshiya; Iwama, Seiji; Notake, Mitsue; Kitano, Tomoko
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002074743	A1	20020926	WO 2002-JP2391	20020314
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1371646	A1	20031217	EP 2002-705159	20020314
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004106622	A1	20040603	US 2003-472236	20030922
PRIORITY APPLN. INFO.:			JP 2001-79029 A	20010319
			WO 2002-JP2391 W	20020314

OTHER SOURCE(S): MARPAT 137:263060

ABSTRACT:
The title compds. UN(R3)ABZCH(R5)CH(R6)CO2R7 [U represents 1,4,5,6-tetrahydropyrimidine-2-yl group or the like, A represents a phenylene group or the like, B represents piperidine-1,4-diyl group or the like, Z represents CONH
or the like, R3 represents hydrogen or the like, R5 represents hydrogen, an aryl group or the like, R6 represents a monosubstituted amino group, such as a benzyloxycarbonyl amino group, or the like, and R7 represents hydrogen or the like] are prepared In an in vitro test for αvβ3 integrin binding inhibition, compds. of this invention showed IC50 values of 0.041 nM to 5.1 nM.

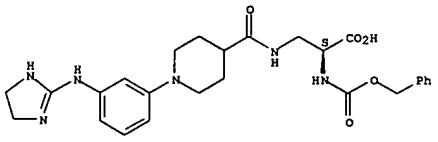
IT **461718-79-6P 461719-43-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclic compds. as αvβ3 integrin inhibitors)

RN 461718-79-6 CAPLUS

CN L-Alanine, 3-[[[1-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-4-piperidinyl]carbonyl]amino]-N-[(phenylmethoxy)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

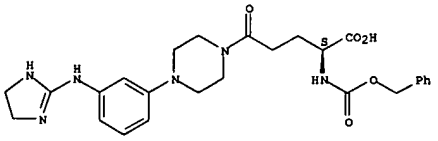
L4 ANSWER 6 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 461719-43-7 CAPLUS

CN 1-Piperazinepentanoic acid, 4-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-5-oxo-α-[[(phenylmethoxy)carbonyl]amino]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:314917 CAPLUS
DOCUMENT NUMBER: 136:325543
TITLE: Preparation of aminophenyliminoimidazolidines for treating urinary incontinence.
INVENTOR(S): Esser, Franz; Pouzet, Pascale Arielle Jane-Josee; Kitagawa, Hisato; Sakai, Kenji; Muramatsu, Ikunobu
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032876	A2	20020425	WO 2001-EP11764	20011011
WO 2002032876	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2425563	AA	20020425	CA 2001-2425563	20011011
AU 2002015943	A5	20020429	AU 2002-15943	20011011
DE 10150312	A1	20020704	DE 2001-10150312	20011011
EP 1328517	A2	20030723	EP 2001-987747	20011011
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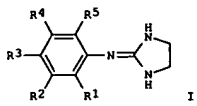
EE 200300177	A	20030815	EE 2003-177	20011011
BR 2001014603	A	20031014	BR 2001-14603	20011011
JP 2004511547	T2	20040415	JP 2002-536060	20011011
US 2002161031	A1	20021031	US 2001-976917	20011012
US 6602897	B2	20030805		
US 2003158420	A1	20030821	US 2003-349993	20030123
US 6747051	B2	20040608		
ZA 2003002345	A	20040423	ZA 2003-2345	20030326
BG 107711	A	20040227	BG 2003-107711	20030408
NO 2003001697	A	20030526	NO 2003-1697	20030411
PRIORITY APPLN. INFO.:			DE 2000-10051005 A	20001014
			US 2000-248172P P	20001114
			WO 2001-EP11764 W	20011011
			US 2001-976917 A1	20011012

OTHER SOURCE(S): MARPAT 136:325543
GRAPHIC IMAGE:

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

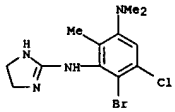


I

ABSTRACT:
Use of title compds. (I; R1 = F, Cl, Br, CH2F, CF2H, CF3; R2 = NR6R7; R6 = Me, Et, Pr, iPr; R7 = Me, Et, Pr; R3, R4, R5 = H, Me, F, Cl, Br, CH2F, CF2H, CF3) for treatment of urinary incontinence, particularly stress incontinence, is claimed. Thus, 2'-bromo-5'-dimethylamino-6'-methylphen-1-yl-2-iminoimidazolidine in H2SO4 at 0° was treated with 1,3-dichloro-5,5-dimethylhydantoin under stirring followed by heating for 3 days at 55° to give 2'-bromo-3'-chloro-5'-dimethylamino-6'-methylphen-1'-yl-2-iminoimidazolidine. The latter as the hydrochloride gave 90% of the activity of noradrenaline in the human urethra.

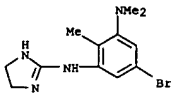
IT **414868-71-6P 414868-72-7P 414868-73-8P 414868-74-9P 414868-75-0P 414868-76-1P 414868-77-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminophenyliminoimidazolidines for treating urinary incontinence)

RN 414868-71-6 CAPLUS
CN 1,3-Benzenediamine, 4-bromo-5-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1,2-trimethyl- (9CI) (CA INDEX NAME)

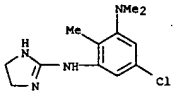


RN 414868-72-7 CAPLUS
CN 1,3-Benzenediamine, 5-bromo-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1,2-trimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 414868-73-8 CAPLUS
CN 1,3-Benzenediamine, 5-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1,2-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



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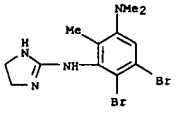
RN 414868-74-9 CAPLUS
CN 1,3-Benzenediamine, 4,5-dibromo-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1,2-trimethyl- (9CI) (CA INDEX NAME)



RN 414868-75-0 CAPLUS
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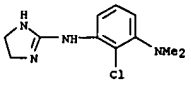
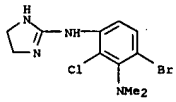
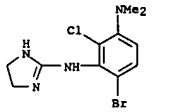


RN 414868-76-1 CAPLUS
CN 1,3-Benzenediamine, 4-bromo-2-chloro-N1-(4,5-dihydro-1H-imidazol-2-yl)-N3,N3-dimethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

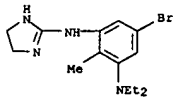


RN 414868-77-2 CAPLUS
CN 1,3-Benzenediamine, 4-bromo-2-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1-dimethyl- (9CI) (CA INDEX NAME)

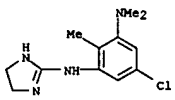


IT **414868-78-3 414868-79-4 414868-80-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of aminophenyliminoimidazolidines for treating urinary incontinence)

RN 414868-78-3 CAPLUS
CN 1,3-Benzenediamine, 5-bromo-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1-diethyl-2-methyl- (9CI) (CA INDEX NAME)

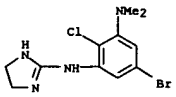


RN 414868-79-4 CAPLUS
CN 1,3-Benzenediamine, 5-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1,2-trimethyl- (9CI) (CA INDEX NAME)

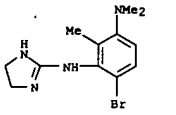


RN 414868-80-7 CAPLUS

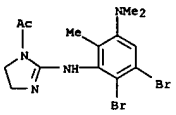
L4 ANSWER 7 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1,3-Benzenediamine, 5-bromo-2-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1-dimethyl- (9CI) (CA INDEX NAME)



IT **183555-51-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of aminophenyliminoimidazolidines for treating urinary incontinence)
RN 183555-51-3 CAPLUS
CN 1,3-Benzenediamine, 4-bromo-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1,2-trimethyl- (9CI) (CA INDEX NAME)



IT **414868-86-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of aminophenyliminoimidazolidines for treating urinary incontinence)
RN 414868-86-3 CAPLUS
CN 1H-Imidazol-2-amine, 1-acetyl-N-[2,3-dibromo-5-(dimethylamino)-6-methylphenyl]-4,5-dihydro- (9CI) (CA INDEX NAME)



L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:185696 CAPLUS
DOCUMENT NUMBER: 136:247592
TITLE: Preparation of heterocyclyl arylamides and ureas as antiinflammatory agents
INVENTOR(S): Breitfelder, Steffen; Cirillo, Pier F.; Regan, John R.
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 36 pp., Cont.-in-part of U.S. Ser. No. 505,582.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002032195	A1	20020314	US 2001-834797	20010413
US 6608052	B2	20030819		
US 6358945	B1	20020319	US 2000-505582	20000216
US 2002055507	A1	20020509	US 2001-962709	20010925
US 6660732	B2	20031209		
US 2002082256	A1	20020627	US 2001-962057	20010925
US 6656933	B2	20031202		
US 2003065034	A1	20030403	US 2002-264689	20021004
US 6703525	B2	20040309		
US 2003225077	A1	20031204	US 2003-424613	20030428
US 2004019038	A1	20040129	US 2003-624289	20030721

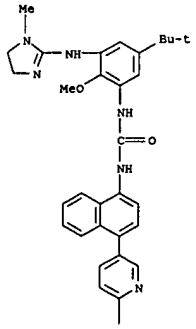
PRIORITY APPLN. INFO.: US 2000-505582 A2 20000216
US 1999-124148P P 19990312
US 1999-165867P P 19991116
US 2001-834797 A2 20010413
US 2001-962057 A1 20010925
US 2001-962709 A3 20010925

OTHER SOURCE(S): MARPAT 136:247592
ABSTRACT:
GEC(:W)NHArXYZ [E = O, NH, S; G = (substituted) Ph, naphthyl, benzocyclobutyl, dihydronaphthyl, benzocycloheptyl, indanyl, indenyl, pyridyl, quinolinyl, oxetanyl, pyrrolidinyl, piperidinyl, etc.; Ar = (substituted) Ph, naphthyl, quinolinyl, isoquinolinyl, tetrahydronaphthyl, benzofuryl, benzothienyl, benzimidazolyl, indanyl, etc.; X = (substituted) cycloalkyl, cycloalkenyl, aryl, furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, pyridinyl, etc.; Y = bond, (substituted) (O-, S-, SO-, SO2-, N-interrupted) alkylene; Z = (substituted) pyridinyl, piperazinyl, pyrimidinyl, pyrazinyl, imidazolyl, pyrazolyl, triazolyl, tetrazolyl, furyl, thienyl, etc.; W = O, S], were prepared
Thus, 5-tert-butyl-2-methoxy-1,3-dinitrobenzene (preparation given) was stirred with
ammonium formate and Pd/C in EtOH followed by 3 h reflux to give 90% diamine, which in MeOH was treated with 3,4-dimethoxycyclobutene-1,2-dione at

L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
0-5° followed by stirring and warming to room temp. to give an intermediate. The intermediate in THF was treated with Me2NH at 0-5° followed by stirring and warming to room temp. to give the dimethylamino intermediate. The latter in CH2Cl2 was treated with COCl2 in PhMe and aq. NaHCO3 followed by removal of most volatiles. The residue was added to 1-amino-4-(6-morpholin-4-ylmethylpyridin-3-yl)naphthalene (prepn. given) in THF
followed by stirring overnight to give 1-[5-tert-butyl-3-(2-dimethylamino-3,4-dioxocyclobut-1-enylamino)-2-methoxyphenyl]-3-[4-(6-morpholin-4-ylmethylpyridin-3-yl)naphthalen-1-yl]urea. Preferred title compds. inhibited TNFα prodn. in THP cells with IC50<10 μM.

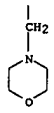
IT **404009-89-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl arylamides and ureas as antiinflammatory agents)
RN 404009-89-8 CAPLUS
CN Urea, N-[3-[(4,5-dihydro-1-methyl-1H-imidazol-2-yl)amino]-5-(1,1-dimethylethyl)-2-methoxyphenyl]-N'-[4-[6-(4-morpholinylmethyl)-3-pyridinyl]-1-naphthalenyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

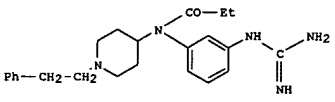


L4 ANSWER 8 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 2-A



L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:106221 CAPLUS
DOCUMENT NUMBER: 137:56975
TITLE: Guanidinium and aminoimidazolinium derivatives of N-(4-piperidyl)propanamides as potential ligands for μ opioid and I2-imidazoline receptors: synthesis and pharmacological screening
AUTHOR(S): Montero, Ana; Goya, Pilar; Jagerovic, Nadine; Callado, Luis F.; Meana, J. Javier; Giron, Rocio; Goicoechea, Carlos; Martin, Ma. Isabel
CORPORATE SOURCE: CSIC, Instituto de Quimica Medica, Madrid, E-28006, Spain
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(4), 1009-1018
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:56975
GRAPHIC IMAGE:



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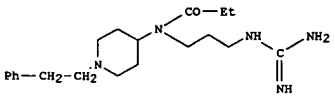


II

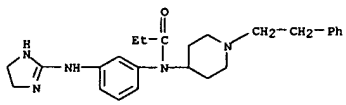
ABSTRACT:
Derivs. of N-(1-phenethyl-4-piperidyl)propanamides incorporating guanidinium and 2-aminoimidazolinium groups have been prepared by a synthetic approach involving first introduction of a spacer between the piperidine and the functional group by reductive amination of piperidinone. The formation of each
of these functional groups was carried out using N-N'-di(tert-butoxycarbonyl)thiourea and 2-methylthioimidazolinium iodide, resp. These structures have been designed to incorporate two pharmacol. goals into one

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 9 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
entity. Radioligand binding assays have been used to study their affinity for opioid (μ, δ and κ) and I2-imidazoline receptors. Two of them, I and II, showed high affinity for μ opioid receptors and functionally they had moderate analgesic properties in the hot plate and writhing tests. The in vitro studies on guinea pig ileum (GPI) indicated that both compds. are μ opioid agonists. In what concerns I2-imidazoline receptor activity, these derivs. showed low affinity around 6 to 7 times less than idazoxan.

IT **439099-28-2P 439132-36-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(guanidinium and aminoimidazolinium derivs. of (piperidyl)propanamides as potential ligands for μ opioid and I2-imidazoline receptors: synthesis and pharmacol. screening)
RN 439099-28-2 CAPLUS
CN Propanamide, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-N-[1-(2-phenylethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)



RN 439132-36-2 CAPLUS
CN Propanamide, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-N-[1-(2-phenylethyl)-4-piperidinyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

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CRN 439099-28-2
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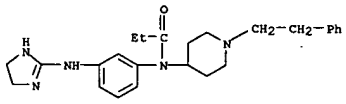

CM 2

CRN 144-62-7
CMF C2 H2 O4

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:31047 CAPLUS
DOCUMENT NUMBER: 136:85671
TITLE: Preparation of diphenylurea derivatives and their use as α2/5-HT2c antagonists
INVENTOR(S): Lavielle, Gilbert; Muller, Olivier; Millan, Mark; Dekeyne, Anne; Brocco, Mauricette
PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1170288	A2	20020109	EP 2001-401712	20010629
EP 1170288	A3	20020116		
EP 1170288	B1	20040811		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2810979	A1	20020104	FR 2000-8378	20000629
FR 2810979	B1	20020823		
NO 2001003254	A	20011231	NO 2001-3254	20010628
JP 2002037778	A2	20020206	JP 2001-195947	20010628
CA 2352405	AA	20011229	CA 2001-2352405	20010629
ZA 2001005394	A	20020131	ZA 2001-5394	20010629
CN 1337395	A	20020227	CN 2001-123275	20010629
US 2002025965	A1	20020228	US 2001-896278	20010629
US 6784183	B2	20040831		
BR 2001002607	A	20020528	BR 2001-2607	20010629
AT 273283	E	20040815	AT 2001-401712	20010629
PT 1170288	T	20041029	PT 2001-401712	20010629
AU 780022	B2	20050224	AU 2001-54144	20010629
ES 2227088	T3	20050401	ES 2001-1401712	20010629
US 2004224993	A1	20041111	US 2004-862546	20040607
PRIORITY APPLN. INFO.:			FR 2000-8378 A	20000629
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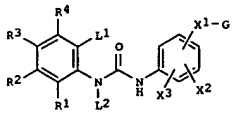
OTHER SOURCE(S): MARPAT 136:85671
GRAPHIC IMAGE:

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



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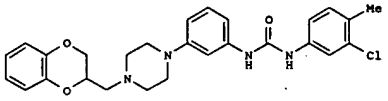


II

ABSTRACT:
Title compds. I [R1-4 = H, halo, alkyl, alkoxy,hydroxy,alkylthio, mercapto, cyano, etc. or two of the substituents together with the atoms to which they are connected may form a (hetero)aromatic cycle; L1-2 = H or together = CH2CH2; X1-2 and the carbons to which they are attached form a (hetero)cycloalkyl group; X3 = H, halo, alkyl, alkoxy, OH, NO2, CN, NH2, etc.; G = (amino)alkyl-imidazol(in)yl, piperidin-4-yl or piperazinyl] were prepared E.g. 3-[4-((2,3-Dihydro-1,4-benzodioxin-2-yl)methyl)-1-piperazinyl]aniline (preparation given) was reacted with 3-chloro-4-methylphenylisocyanate (PhMe, reflux, 2 h) to give urea II isolated as the hydrochloride salt, m.p. 180-185°C. II had pKi = 6.7 for the α2 receptor. I are useful for the treatment of sleep disorders, depression, sexual dysfunction, etc.

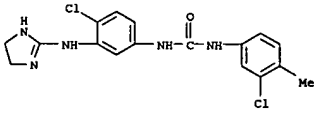
IT **387865-34-1P**, N-(3-Chloro-4-methylphenyl)-N'-[3-((4,5-dihydro-1H-imidazol-2-yl)amino)-4-methylphenyl]urea hydrochloride **387865-39-6P**, N-(3-Chloro-4-methylphenyl)-N'-[3-((4,5-dihydro-1H-imidazol-2-yl)amino)phenyl]urea hydrochloride **387865-45-4P**,

N-[4-Chloro-3-((4,5-dihydro-1H-imidazol-2-yl)amino)phenyl]-N'-(3-chloro-4-methylphenyl)urea hydrochloride **387866-03-7P**,

N-[4-Chloro-3-((4,5-dihydro-1H-imidazol-2-yl)amino)phenyl]-N'-(3-chloro-4-methylphenyl)urea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of diphenylurea derivs. and their use as α2/5-HT2c antagonists)

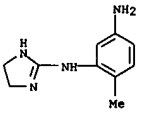
RN 387865-34-1 CAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3-[(4,5-dihydro-1H-imidazol-2-

L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
chloro-4-methylphenyl)- (9CI) (CA INDEX NAME)

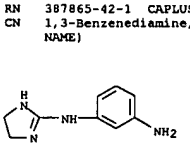


IT **183555-57-9 387865-42-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of diphenylurea derivs. and their use as α2/5-HT2c antagonists)

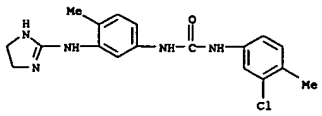
RN 183555-57-9 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-4-methyl- (9CI) (CA INDEX NAME)



RN 387865-42-1 CAPLUS
CN 1,3-Benzenediamine, N-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

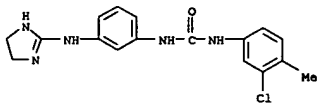


L4 ANSWER 10 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
yl)amino]-4-methylphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



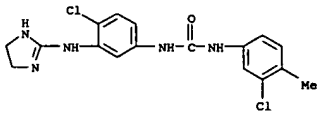
● HCl

RN 387865-39-6 CAPLUS
CN Urea, N-(3-chloro-4-methylphenyl)-N'-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 387865-45-4 CAPLUS
CN Urea, N-[4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-N'-(3-chloro-4-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 387866-03-7 CAPLUS
CN Urea, N-[4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-N'-(3-

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

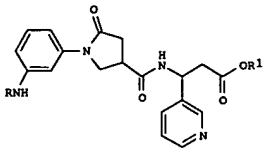
ACCESSION NUMBER: 2001:453053 CAPLUS
DOCUMENT NUMBER: 135:61230
TITLE: 1-(Aminophenyl)-2-pyrrolidones as integrin inhibitors
INVENTOR(S): Dominguez, Celia; Chen, Guoqing; Xi, Ning; Xu, Shimin; Han, Nianhe; Liu, Qingyian; Huang, Qi; Siegmund, Aaron; Handley, Michael; Liu, Longbin; Kiselyov, Alexander S.
PATENT ASSIGNEE(S): Amgen Inc., USA
SOURCE: PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001044230	A1	20010621	WO 2000-US33515	20001211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002019402	A1	20020214	US 2000-732546	20001208
US 6849639	B2	20050201		
CA 2393310	AA	20010611	CA 2000-2393310	20001211
AU 2001020835	A5	20010625	AU 2001-20835	20001211
AU 778374	B2	20041202		
EP 1240158	A1	20020918	EP 2000-984165	20001211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003535036	T2	20031125	JP 2001-544720	20001211

PRIORITY APPLN. INFO.:		
US 1999-170824P	P	19991214
US 2000-732546	A	20001208
WO 2000-US33515	W	20001211

OTHER SOURCE(S): MARPAT 135:61230
GRAPHIC IMAGE:

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

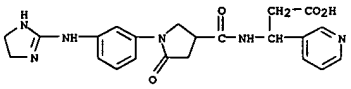


I

ABSTRACT:
Title compds. are effective in the prophylaxis and treatment of diseases or conditions mediated by integrin receptors, such as αvβ3, αvβ5, αvβ6, α5β1. Thus, the pyrrolidinone I [R = PhNHCO, R1 = H] was prepared by treating I [R = H, R1 = Et] with PhNCO and ester hydrolysis.

IT **345296-20-0P 345296-68-6P 345296-74-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(aminophenyl)-2-pyrrolidones as integrin inhibitors)

RN 345296-20-0 CAPLUS
CN 3-Pyridinepropanoic acid, β-[[[1-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-5-oxo-3-pyrrolidinyl]carbonyl]amino]- (9CI) (CA INDEX NAME)

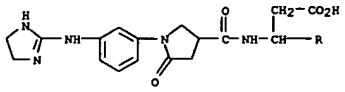


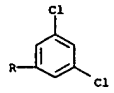
RN 345296-68-6 CAPLUS
CN Benzenepropanoic acid, 3,5-dichloro-β-[[[1-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-5-oxo-3-pyrrolidinyl]carbonyl]amino]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 345296-67-5
CMF C23 H23 Cl2 N5 O4

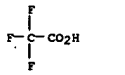
L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)





CM 2

CRN 76-05-1
CMF C2 H F3 O2

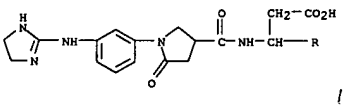


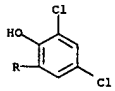
RN 345296-74-4 CAPLUS
CN Benzenepropanoic acid, 3,5-dichloro-β-[[[1-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-5-oxo-3-pyrrolidinyl]carbonyl]amino]-2-hydroxy-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 345296-73-3
CMF C23 H23 Cl2 N5 O5

L4 ANSWER 11 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



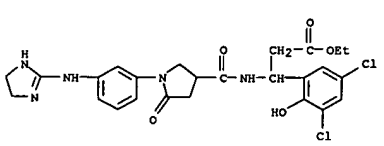


CM 2

CRN 76-05-1
CMF C2 H F3 O2

IT **345297-94-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 1-(aminophenyl)-2-pyrrolidones as integrin inhibitors)

RN 345297-94-1 CAPLUS
CN Benzenepropanoic acid, 3,5-dichloro-β-[[[1-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-5-oxo-3-pyrrolidinyl]carbonyl]amino]-2-hydroxy-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN APPLICANT

ACCESSION NUMBER: 1996:710262 CAPLUS
DOCUMENT NUMBER: 126:8114
TITLE: Preparation of phenyliminoimidazolidines and analogs as α1L-adrenoceptor agonists
INVENTOR(S): Esser, Franz; Staehle, Helmut; Luettke, Sven; Muramatsu, Ikunobu; Kitagawa, Hisato; Uchida, Shuji M.
D.
PATENT ASSIGNEE(S): Boehringer Ingelheim Kg, Germany
SOURCE: Ger. Offen., 15 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19514579	A1	19961024	DE 1995-19514579	19950420
CA 2214338	AA	19961024	CA 1996-2214338	19960413
WO 9632939	A1	19961024	WO 1996-EP1568	19960413
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9656878	A1	19961107	AU 1996-56878	19960413
AU 719710	B2	20000518		
EP 821585	A1	19980204	EP 1996-914912	19960413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1180311	A	19980429	CN 1996-193093	19960413
CN 1119148	B	20030827		
BR 9608049	A	19990126	BR 1996-8049	19960413
JP 11503738	T2	19990330	JP 1996-531455	19960413
JP 3379960	B2	20030224		
NZ 307509	A	20000623	NZ 1996-307509	19960413
PL 184881	B1	20030131	PL 1996-324041	19960413
EP 1285653	A1	20030226	EP 2002-25309	19960413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
JP 2003064058	A2	20030305	JP 2002-236562	19960413
RU 2230061	C2	20040610	RU 1997-119064	19960413
EE 4416	B1	20050215	EE 1997-267	19960413
TW 403739	B	20000901	TW 1996-85104648	19960418
IL 117956	A1	20011125	IL 1996-117956	19960418
ZA 9603131	A	19961021	ZA 1996-3131	19960419
BG 64116	B1	20040130	BG 1997-101966	19971015
NO 9704821	A	19971017	NO 1997-4821	19971017
US 6268389	B1	20010731	US 1999-227944	19990111
US 2002040150	A1	20020404	US 2000-536728	20000328
US 2003114425	A1	20030619	US 2002-295460	20021115
US 6858594	B2	20050222		
US 2004198796	A1	20041007	US 2004-827408	20040419

PRIORITY APPLN. INFO.:

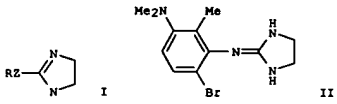
DE 1995-19514579	A	19950420
JP 1996-531455	A3	19960413
WO 1996-EP1568	W	19960413
EP 1996-914912	A3	19961014

L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

US 1998-913900 A1 19980226
US 1999-227944 A3 19990111
US 2000-536728 A1 20000328

OTHER SOURCE(S): MARPAT 126:8114

GRAPHIC IMAGE:

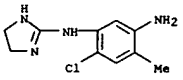


I II

ABSTRACT:
Title compds. [tautomeric I in which Z = NH and I (Z = CH2 OCH2, N:N, etc.); R = (un)substituted Ph, -naphthyl, heterocyclyl] were prepared Thus, 2,4-Me(Me2N)C6H3N:C(NH2)SMe.HI (preparation given) was cyclocondensed with H2NCH2CH2NH2 and the product brominated to give title compound II. Data for in
vivo biol. activity of II were given.

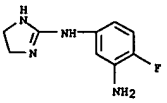
IT **72409-86-0P 75849-41-1P 183555-50-2P**
183555-51-3P 183555-53-5P 183555-54-6P
183555-55-7P 183555-56-8P 183555-57-9P
183555-58-0P 183555-59-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenyliminoimidazolidines and analogs as α1L-adrenoceptor agonists)

RN 72409-86-0 CAPLUS
CN 1,3-Benzenediamine, 6-chloro-N1-(4,5-dihydro-1H-imidazol-2-yl)-4-methyl- (9CI) (CA INDEX NAME)

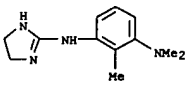


RN 75849-41-1 CAPLUS
CN 1,3-Benzenediamine, N1-(4,5-dihydro-1H-imidazol-2-yl)-4-fluoro- (9CI) (CA
INDEX NAME)

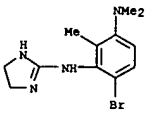
L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



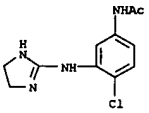
RN 183555-50-2 CAPLUS
CN 1,3-Benzenediamine, N'-(4,5-dihydro-1H-imidazol-2-yl)-N,N,2-trimethyl- (9CI) (CA INDEX NAME)



RN 183555-51-3 CAPLUS
CN 1,3-Benzenediamine, 4-bromo-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1,2-trimethyl- (9CI) (CA INDEX NAME)

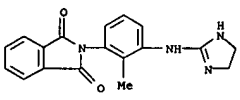


RN 183555-53-5 CAPLUS
CN Acetamide, N-[4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]- (9CI) (CA INDEX NAME)

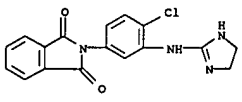


RN 183555-54-6 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2-methylphenyl]- (9CI) (CA INDEX NAME)

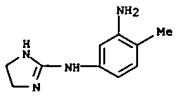
L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



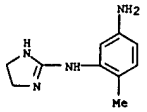
RN 183555-55-7 CAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]- (9CI) (CA INDEX NAME)



RN 183555-56-8 CAPLUS
CN 1,3-Benzenediamine, N1-(4,5-dihydro-1H-imidazol-2-yl)-4-methyl- (9CI) (CA
INDEX NAME)

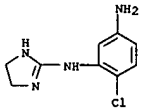


RN 183555-57-9 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-4-methyl- (9CI) (CA
INDEX NAME)

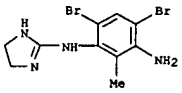


RN 183555-58-0 CAPLUS
CN 1,3-Benzenediamine, 4-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA
INDEX NAME)

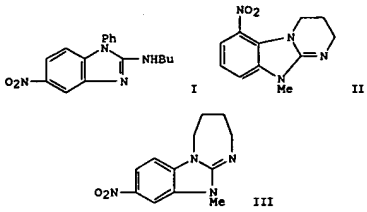
L4 ANSWER 12 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 183555-59-1 CAPLUS
CN 1,3-Benzenediamine, 4,6-dibromo-N-(4,5-dihydro-1H-imidazol-2-yl)-2-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:531125 CAPLUS
DOCUMENT NUMBER: 117:131125
TITLE: Cyclic guanidines. IV. Intramolecular nucleophilic aromatic substitution of hydrogen in (3-nitrophenyl)guanidines
AUTHOR(S): Esser, Franz; Pook, Karl Heinz
CORPORATE SOURCE: Dep. Med. Chem., Boehringer Ingelheim, Ingelheim, D-6507, Germany
SOURCE: Synthesis (1992), (6), 596-601
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
GRAPHIC IMAGE:

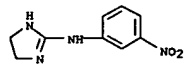


I II III

ABSTRACT:
Cyclization of substituted (3-nitrophenyl)guanidines is achieved in basic medium by nucleophilic displacement of hydrogen. The reaction offers a new route to benzimidazoles as well as to tricyclic imidazo-, pyrimido- and diazepinobenzimidazoles with uncommon substitution patterns. Examples of the products are I, II, and III. The mechanism of the redox process is investigated and the regioselectivity is discussed in terms of substrate structure and reaction conditions. An outline is given on the scope of the ring closure.

IT **143097-37-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)
RN 143097-37-4 CAPLUS
CN 1H-Imidazol-2-amine, 4,5-dihydro-N-(3-nitrophenyl)- (9CI) (CA INDEX NAME)

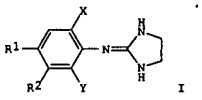
L4 ANSWER 13 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1988:180114 CAPLUS
DOCUMENT NUMBER: 108:180114
TITLE: Clonidine derivatives for the topical treatment of ocular bleeding during surgery or trauma
INVENTOR(S): De Santis, Louis M.; De Faller, Joseph M.; York, Billie M., Jr.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: Eur. Pat. Appl., 6 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 236636	A2	19870916	EP 1986-400259	19860207
EP 236636	A3	19881207		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4587257	A	19860506	US 1984-682593	19841214
PRIORITY APPLN. INFO.:			US 1984-682593	19841214

GRAPHIC IMAGE:

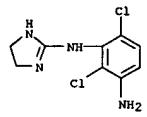


I

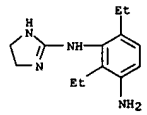
ABSTRACT:
2-(Trisubstituted phenylimino)imidazolidines (I; R1, R2 = H, OH, NHR3, OCOCH2R3; R3 = H, alkyl provided that one of R1, R2 = H; X, Y = Cl, Br, Me, Et)
are useful for the treatment of ocular bleeding during ophthalmic surgery, i.e.
YAG or Ruby Q laser surgery, or due to trauma (no data).

IT **85608-39-5 87604-76-0 103542-71-8 114177-24-1 114177-25-2**
RL: BIOL (Biological study)
(hemostatics, for control of ocular bleeding)
RN 85608-39-5 CAPLUS
CN 1,3-Benzenediamine, 2,4-dichloro-N3-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

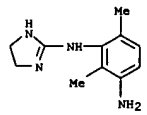
L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



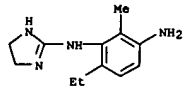
RN 87604-76-0 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-2,4-diethyl- (9CI) (CA INDEX NAME)



RN 103542-71-8 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

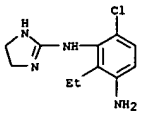


RN 114177-24-1 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-4-ethyl-2-methyl- (9CI) (CA INDEX NAME)



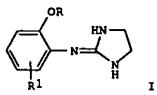
RN 114177-25-2 CAPLUS
CN 1,3-Benzenediamine, 4-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-2-ethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1986:533804 CAPLUS
DOCUMENT NUMBER: 105:133804
TITLE: New 2-aryliminoimidazolidines. I. Synthesis and antihypertensive properties of 2-(2-phenoxyphenylimino)imidazolidines and related compounds
AUTHOR(S): Matsuo, Masaaki; Taniguchi, Kiyoshi; Katsura, Yousuke; Kamitani, Toshiharu; Ueda, Ikuo
CORPORATE SOURCE: Cent. Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1985), 33(10), 4409-21
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 105:133804
GRAPHIC IMAGE:



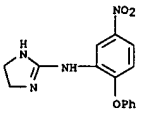
I

ABSTRACT:
2-(2-Phenoxyphenylimino)imidazolidines I (R = Ph, substituted Ph, R1 = H; R = Ph, R1 = Cl, Me, NO2, cyano, amino, SO2NH2, CF3, OH, OMe, SO2NMe2; R = 4-ClC6H4, R1 = 5-Cl, 5-Me) and related compds. were synthesized and evaluated for hypotensive activity in rats. Most I were synthesized via the aniline derivs. by two different methods. Some were significantly hypotensive, with I (R = Ph, R1 = 5-Cl) may involve the blockade of peripheral α-adrenergic receptors.

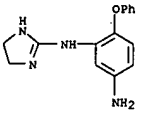
IT **76841-09-3P 76841-10-6P 76841-11-7P**
76841-28-6P 76841-38-8P 76841-42-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antihypertensive activity of)

RN 76841-09-3 CAPLUS
CN 1H-Imidazol-2-amine, 4,5-dihydro-N-(5-nitro-2-phenoxyphenyl)- (9CI) (CA INDEX NAME)

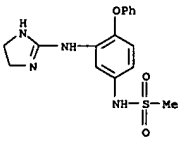
L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



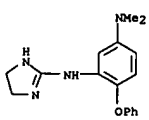
RN 76841-10-6 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-4-phenoxy- (9CI) (CA INDEX NAME)



RN 76841-11-7 CAPLUS
CN Methanesulfonamide, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-4-phenoxyphenyl]- (9CI) (CA INDEX NAME)

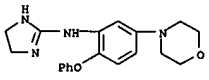


RN 76841-28-6 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1-dimethyl-4-phenoxy- (9CI) (CA INDEX NAME)

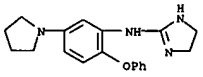


RN 76841-38-8 CAPLUS
CN 1H-Imidazol-2-amine, 4,5-dihydro-N-(5-(4-morpholinyl)-2-phenoxyphenyl)-

L4 ANSWER 15 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(9CI) (CA INDEX NAME)



RN 76841-42-4 CAPLUS
CN 1H-Imidazol-2-amine, 4,5-dihydro-N-[2-phenoxy-5-(1-pyrrolidinyl)phenyl]-(9CI) (CA INDEX NAME)

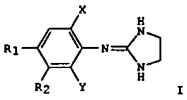


L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:472687 CAPLUS
DOCUMENT NUMBER: 105:72687
TITLE: Control of ocular bleeding using clonidine derivatives
INVENTOR(S): DeSantis, Louis M.; DeFaller, Joseph M.; York, Billie M., Jr.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4587257	A	19860506	US 1984-682593	19841214
AU 8653224	A1	19870806	AU 1986-53224	19860205
AU 585309	B2	19890615		
EP 236636	A2	19870916	EP 1986-400259	19860207
EP 236636	A3	19881207		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				

PRIORITY APPLN. INFO.: US 1984-682593 19841214

GRAPHIC IMAGE:

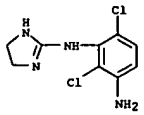


I

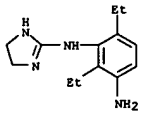
ABSTRACT:
Clonidine derivs. I (R1,R2=H,OH,(substituted)amino, alkanoyloxy; X,Y=Br,Cl,Ne,Et) are topical hemostatics for control of anterior segment ocular bleeding, e.g. during ophthalmic surgery. These compds. do not effect the retinal vasculature or cause mydriasis.

IT **85608-39-5 87604-76-0 103542-71-8**
RL: BIOL (Biological study)
(as hemostatic, for eye)
RN 85608-39-5 CAPLUS
CN 1,3-Benzenediamine, 2,4-dichloro-N3-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

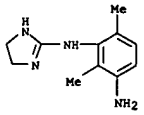
L4 ANSWER 16 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 87604-76-0 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-2,4-diethyl- (9CI) (CA INDEX NAME)



RN 103542-71-8 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-2,4-dimethyl- (9CI) (CA INDEX NAME)

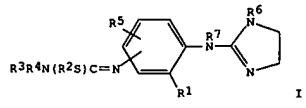


L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1986:19581 CAPLUS
DOCUMENT NUMBER: 104:19581
TITLE: 2-Phenylaminoimidazolines and their use in human and veterinary medicine
INVENTOR(S): Newsome, Peter Martin; Moss, Stephen Frederick
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 34 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

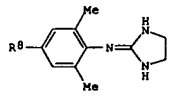
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 149140	A2	19850724	EP 1984-115269	19841212
EP 149140	A3	19850821		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
DK 8406087	A	19850621	DK 1984-6087	19841218
AU 8436858	A1	19850704	AU 1984-36858	19841218
ZA 8409840	A	19851030	ZA 1984-9840	19841218
ES 538767	A1	19860301	ES 1984-538767	19841218
JP 60156675	A2	19850816	JP 1984-268211	19841219

PRIORITY APPLN. INFO.: GB 1983-33835 A 19831220

GRAPHIC IMAGE:



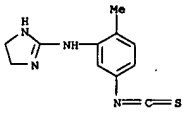
I



II

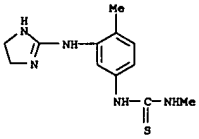
ABSTRACT:
The title compds. [I; R1 = halogen, alkyl, alkoxy; R2 = H, alkyl, (un)substituted aryl; R3, R4 = H, alkyl, (un)substituted aryl, aralkyl; R5 = H, halogen, alkyl, alkoxy; R6, R7 = H, alkyl, acyl] were prepared as antidiarrheal agents. Thus, iminoimidazolidine II-2HCl (R8 = NH2) in 4M HCl reacted with CSCl2 in CHCl3 to give, after neutralization and reacidification, II-HCl (R8 = isothiocyanato). Reaction of the isothiocyanate with aqueous MeNH2 in EtOH gave II-HCl (R8 = MeNHCSNH; III). At 5 mg/kg orally, twice daily for 4 days in neonatal mice, III gave 69% protection from lethal enteropathogenic infection by Escherichia coli.

L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
IT **99497-59-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with amines)
RN 99497-59-3 CAPLUS
CN 1H-Imidazol-2-amine, 4,5-dihydro-N-(5-isothiocyanato-2-methylphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



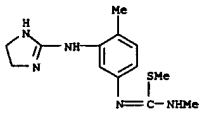
● HCl

IT **99497-58-2P 99497-71-9P 99497-72-0P**
99497-83-3P 99516-72-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antidiarrheal agent)
RN 99497-58-2 CAPLUS
CN Thiourea, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-4-methylphenyl]-N'-methyl- (9CI) (CA INDEX NAME)



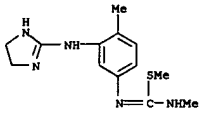
RN 99497-71-9 CAPLUS
CN Carbamimidothioic acid, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-4-methylphenyl]-N'-methyl-, methyl ester, monohydriodide (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

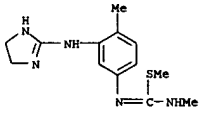


● HI

RN 99497-72-0 CAPLUS
CN Carbamimidothioic acid, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-4-methylphenyl]-N'-methyl-, methyl ester (9CI) (CA INDEX NAME)



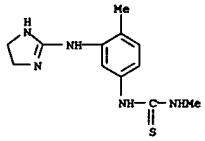
RN 99497-83-3 CAPLUS
CN Carbamimidothioic acid, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-4-methylphenyl]-N'-methyl-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

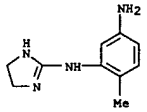
RN 99516-72-0 CAPLUS
CN Thiourea, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-4-methylphenyl]-N'-methyl-, hydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●x HCl

IT **99497-78-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with thiophosgene)
RN 99497-78-6 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)



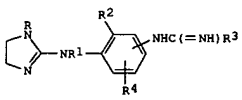
●2 HCl

L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1985:45942 CAPLUS
DOCUMENT NUMBER: 102:45942
TITLE: [(Benzamidophenyl)imino]imidazolidines
INVENTOR(S): Newsome, Peter Martin; Beeley, Lee James; Moss, Stephen Frederick; Baker, Geoffrey Harold
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 117102	A1	19840829	EP 1984-300839	19840210
R: CH, DE, FR, GB, IT, LI, NL				
US 4596818	A	19860624	US 1984-580691	19840216
JP 59157069	A2	19840906	JP 1984-28402	19840217
PRIORITY APPLN. INFO.:			GB 1983-4593 A	19830218

GRAPHIC IMAGE:

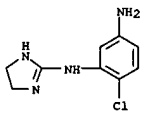


I

ABSTRACT:
Imidazolines I [R = R1 are H, alkyl, acyl; R2 = halo, alkyl, alkoxy; R3 = (un)substituted Ph, benzyl, styryl, thienyl, or thienylmethyl; R4 = H, halo, alkyl, alkoxy], which were prepared, exhibited anti-diarrhea activity. A 2-(4-aminophenylimino)imidazolidine derivative was treated with 4-ClC6H4CN to give
I (R = R1 = H, R2 = Cl, R3 = 4-ClC6H4, R4 = 6-Cl).

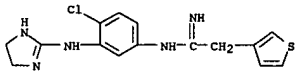
IT **94242-29-2**
RL: PROC (Process)
(addition of, with acetonitrile and benzonitrile derivs.)
RN 94242-29-2 CAPLUS
CN 1,3-Benzenediamine, 4-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

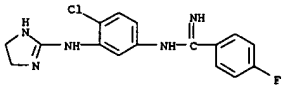


● HCl

IT **94242-28-1P 94242-30-5P 94242-41-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and anti-diarrhea activity of)
RN 94242-28-1 CAPLUS
CN 3-Thiopheneethanimidamide, N-[4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]- (9CI) (CA INDEX NAME)

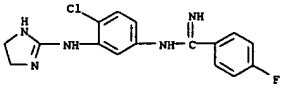


RN 94242-30-5 CAPLUS
CN Benzenecarboximidamide, N-[4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-4-fluoro-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 94242-41-8 CAPLUS
CN Benzenecarboximidamide, N-[4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]phenyl]-4-fluoro- (9CI) (CA INDEX NAME)



L4 ANSWER 18 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

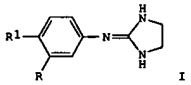
L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1984:6512 CAPLUS
DOCUMENT NUMBER: 100:6512
TITLE: Imidazolidine derivatives.
INVENTOR(S): Purcell, Thomas
PATENT ASSIGNEE(S): Synthelabo S. A. , Fr.
SOURCE: Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 86126	A1	19830817	EP 1983-400128	19830119
EP 86126	B1	19850724		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
FR 2521140	A1	19830812	FR 1982-1877	19820205
FR 2521140	B1	19840316		
AT 14424	E	19850815	AT 1983-400128	19830119
US 4492709	A	19850108	US 1983-460993	19830125
DK 8300476	A	19830806	DK 1983-476	19830204
FI 8300397	A	19830806	FI 1983-397	19830204
NO 8300388	A	19830808	NO 1983-388	19830204
AU 8311150	A1	19830811	AU 1983-11150	19830204
AU 553893	B2	19860731		
JP 58146569	A2	19830901	JP 1983-18018	19830204
ZA 8300763	A	19831026	ZA 1983-763	19830204
ES 519532	A1	19840316	ES 1983-519532	19830204
HU 31133	O	19840428	HU 1983-395	19830204
HU 191284	B	19870227		
CA 1190933	A1	19850723	CA 1983-420966	19830204

PRIORITY APPLN. INFO.:
FR 1982-1877 A 19820205
EP 1983-400128 A 19830119

GRAPHIC IMAGE:

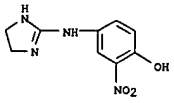


I

ABSTRACT:
Title compds. I (one of R and R1 is OH and the other is MeSO2NH, HCONH, alkanamido, ureido, 3-alkylureido, 3,3-dialkylureido), useful as gastric secretion inhibitors (no data), were prepared Thus, 4-H2NC6H4OH reacted with 2-methylthio-2-imidazoline to give I (R = H, R1 = OH) which was converted to I (R = NHCHO, R1 = OH) via the resp. I (R = NO2, NH2).

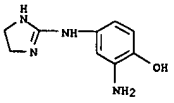
IT **88043-57-6P**

L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 88043-57-6 CAPLUS
CN Phenol, 4-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2-nitro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

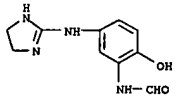
IT **88043-58-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and N-acylation of)
RN 88043-58-7 CAPLUS
CN Phenol, 2-amino-4-[(4,5-dihydro-1H-imidazol-2-yl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

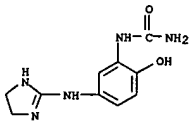
IT **88043-59-8P 88043-60-1P 88043-65-6P 88043-66-7P 88043-68-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88043-59-8 CAPLUS
CN Formamide, N-[5-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



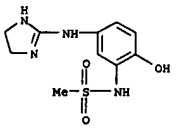
● HCl

RN 88043-60-1 CAPLUS
CN Urea, [5-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

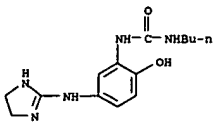
RN 88043-65-6 CAPLUS
CN Methanesulfonamide, N-[5-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

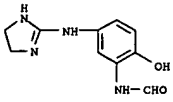
RN 88043-66-7 CAPLUS
CN Urea, N-butyl-N'-[5-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2-hydroxyphenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 19 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

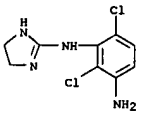


● HCl

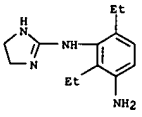
RN 88043-68-9 CAPLUS
CN Formamide, N-[5-[(4,5-dihydro-1H-imidazol-2-yl)amino]-2-hydroxyphenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. and intraocular pressure redn. of)
RN 85608-39-5 CAPLUS
CN 1,3-Benenediamine, 2,4-dichloro-N3-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

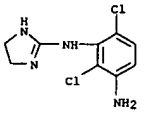


RN 86861-22-5 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-2,4-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 86861-23-6 CAPLUS
CN 1,3-Benzenediamine, 2,4-dichloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 87604-76-0 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-2,4-diethyl- (9CI) (CA INDEX NAME)

L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:575759 CAPLUS
DOCUMENT NUMBER: 99:175759
TITLE: 2-(Trisubstituted phenylimino)imidazolines
INVENTOR(S): York, Billie Murray, Jr.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 81923	A2	19830622	EP 1982-306187	19821119
EP 81923	A3	19830720		
EP 81923	B1	19870121		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4461904	A	19840724	US 1981-323371	19811120
CA 1183545	A1	19850305	CA 1982-415421	19821112
AT 25079	E	19870215	AT 1982-306187	19821119
JP 58109473	A2	19830629	JP 1982-204429	19821120
JP 05045584	B4	19930709		

PRIORITY APPLN. INFO.: US 1981-323371 A 19811120
EP 1982-306187 A 19821119

OTHER SOURCE(S): CASREACT 99:175759
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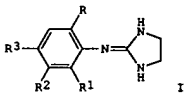
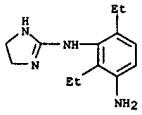


I

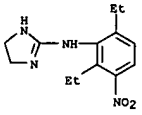
ABSTRACT:
The title compds. I [R, R1 = Me, Et, F3C, Cl, Br, F, R2 = H, NR4R5, CONR4R6, R4NCOR5 (R4, R6 = H, alkyl; R5 = H, alkyl, HOCH2CH2, HOCHMeCH2, HOCH2CH2CH2), R3 = H, NR4R5, NR4COR6] and their pharmaceutically acceptable salts were prepared
for treatment of glaucoma. Thus, 2,5-Et2C6H3NH2 was treated with 1-acetyl-2-imidazoline followed by hydrolysis and nitration to give 2,6-diethyl-4-nitro-N-(2-imidazolidinylidene)benzenamine, which was hydrogenated to give I (R = R1 = Et, R2 = H, R3 = NH2) (II). II lowered intraocular pressure in rats at 50 μL/kg.

IT **85608-39-5P 86861-22-5P 86861-23-6P**
87604-76-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

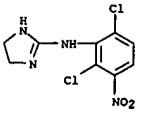
L4 ANSWER 20 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



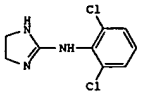
IT **86861-33-8P 86861-34-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 86861-33-8 CAPLUS
CN 1H-Imidazol-2-amine, N-(2,6-diethyl-3-nitrophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)



RN 86861-34-9 CAPLUS
CN 1H-Imidazol-2-amine, N-(2,6-dichloro-3-nitrophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:516659 CAPLUS
DOCUMENT NUMBER: 99:116659
TITLE: Multiple central α2 adrenoceptors of avian and mammalian species
AUTHOR(S): Randall, William C.; Baldwin, John J.; Cresson, Emlen L.; Tolman, Richard L.; Weppelman, Roger M.; Lyon, Thomas F.
CORPORATE SOURCE: Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA
SOURCE: Biochemical Pharmacology (1983), 32(12), 1933-40
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English
GRAPHIC IMAGE:

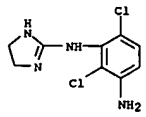


I

ABSTRACT:
Although equilibrium binding expts. indicated that calf cerebral membranes contained
2 classes of clonidine (I) [4205-90-7] receptors and that chicken cerebral membranes contained only 1, expts. investigating the kinetics of binding and the effects of 5'-guanylyl imidodiphosphate (GppNHp) [34273-04-6] indicated that the cerebral membranes of both species contained 2 subtypes of the receptor, with the avian high-affinity receptor being present at too low a d. to be readily detected in equilibrium binding studies. For both species 10 μM
GppNHp sharply reduced or eliminated both the high-affinity binding site and the slow steps of association and dissociation without changing the low-affinity site
and its related rapid association and dissociation steps. The high-affinity sites from
both species had similar specificities since the relative affinities of the avian binding site for a series of I analogs closely reflected the relative affinities of the calf binding site. The properties of the chicken and calf α2-subtypes resembled those reported for rat brain.

IT 85608-39-5
RL: BIOL (Biological study)
(clonidine binding by α2-adrenoceptor inhibition by, in brain cerebral cortex of chicken and mammal)
RN 85608-39-5 CAPLUS
CN 1,3-Benzenediamine, 2,4-dichloro-N3-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

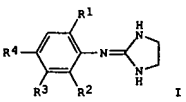
L4 ANSWER 21 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:493743 CAPLUS
DOCUMENT NUMBER: 99:93743
TITLE: Topical compositions for lowering intraocular pressure
INVENTOR(S): York, Billie Murray, Jr.
PATENT ASSIGNEE(S): Alcon Laboratories, Inc., USA
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 81924	A1	19830622	EP 1982-306188	19821119
EP 81924	B1	19860219		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1201066	A1	19860225	CA 1982-415190	19821109
AT 18006	E	19860315	AT 1982-306188	19821119
JP 58116417	A2	19830711	JP 1982-204428	19821120
JP 04053846	B4	19920827		
US 4515800	A	19850507	US 1983-520071	19830803
US 4517199	A	19850514	US 1983-519791	19830803
CA 1194418	A2	19851001	CA 1984-458039	19840703
US 4644007	A	19870217	US 1985-755373	19850715
PRIORITY APPLN. INFO.:			US 1981-323369 A	19811120
			CA 1982-415190 A3	19821109
			EP 1982-306188 A	19821119
			US 1983-519791 A2	19830803
			US 1983-520071 A2	19830803
			US 1984-590464 A1	19840316

OTHER SOURCE(S): CASREACT 99:93743
GRAPHIC IMAGE:

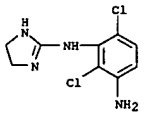


I

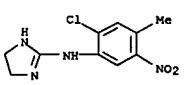
ABSTRACT:
2-(Trisubstituted-phenylimino)imidazolidines (I, R1, R2 = Me, Et, CF3, halo, etc., R3, R4 = H, NR5R7, CO2R5, CONR5R6, etc., where R5, R6 = H or lower alkyl,
R7 = H, lower alkyl, 2-hydroxyalkyl, 3-hydroxypropyl, etc.,) are prepared and used in topical compns. for the treatment of glaucoma. I compns. selectively lower intraocular pressure through a lower or peripheral α-adrenergic action without significantly affecting the central nervous system. Thus,

L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
clonidine [4205-90-7] was nitrated with cold H2SO4 and conc. HNO3 at 5-10° to yield 2,6-dichloro-3-nitro-N-(2-imidazolidinylidene)benzamine [86861-34-9] which was reduced with Fe powder and conc. HCl in EtOH soln. to give 2,6-dichloro-N-(2-imidazolidinylidene)-1,3-benzenediamine-HCl (I,
R1 = R2 = Cl, R3 = NH2 and R4 = H; HCl salt)(II) [86861-23-6]. A topical compn. was prepd. contg. 0.57 g II. The effectiveness of II in decreasing exptl. produced glaucoma was demonstrated in rhesus monkey and other
lab. animals.

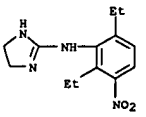
IT 85608-39-5
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceuticals containing, for glaucoma treatment)
RN 85608-39-5 CAPLUS
CN 1,3-Benzenediamine, 2,4-dichloro-N3-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)



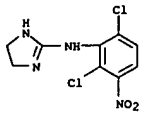
IT 72409-88-2P 86861-33-8P 86861-34-9P
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)
(preparation and nitro group reduction of)
RN 72409-88-2 CAPLUS
CN 1H-Imidazol-2-amine, N-(2-chloro-4-methyl-5-nitrophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)



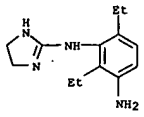
RN 86861-33-8 CAPLUS
CN 1H-Imidazol-2-amine, N-(2,6-diethyl-3-nitrophenyl)-4,5-dihydro- (9CI) (CA
INDEX NAME)



L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 86861-34-9 CAPLUS
CN 1H-Imidazol-2-amine, N-(2,6-dichloro-3-nitrophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)

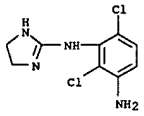


IT 86861-22-5P 86861-23-6P 86861-26-9P
RL: PREP (Preparation)
(preparation of, for glaucoma treatment)
RN 86861-22-5 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-2,4-diethyl-, dihydrochloride (9CI) (CA INDEX NAME)



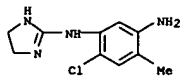
●2 HCl

RN 86861-23-6 CAPLUS
CN 1,3-Benzenediamine, 2,4-dichloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L4 ANSWER 22 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RN 86861-26-9 CAPLUS
CN 1,3-Benzenediamine, 6-chloro-N1-(4,5-dihydro-1H-imidazol-2-yl)-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

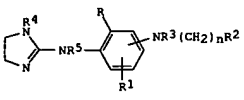


●2 HCl

L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1983:198217 CAPLUS
DOCUMENT NUMBER: 98:198217
TITLE: Anilinoimidazolines
PATENT ASSIGNEE(S): Beecham Group PLC, UK
SOURCE: Jpn. Kokai Tokkyo Koho, 23 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 57181060	A2	19821108	JP 1982-68573	19820423
EP 70084	A2	19830119	EP 1982-302004	19820420
EP 70084	A3	19830216		
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
DK 8201801	A	19821025	DK 1982-1801	19820422
AU 8282936	A1	19831027	AU 1982-82936	19820422
ZA 8202780	A	19830223	ZA 1982-2780	19820423
ES 511665	A1	19830601	ES 1982-511665	19820423
PRIORITY APPLN. INFO.:			GB 1981-12692 A	19810424

GRAPHIC IMAGE:

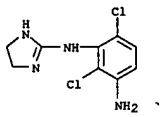


I

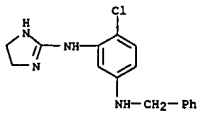
ABSTRACT:
Title compds. I [R, R1 = halo, alkyl, alkoxy; R2 = (substituted) aryl; R3 = H, alkyl; R4, R5 = H, alkyl, acyl; n = 0-3], useful as vasoconstrictors, antihypertensives, tranquilizers and for treatment of diarrhea, were prepared Thus, heating 17.26 g 2-chloro-5-nitroaniline, 135 mL POCl3, and 14 g 1-acetyl-2-imidazolidinone at 50° 48 h gave 100% 2-[(2-chloro-5-nitrophenyl)imino]imidazolidine. Most I showed 9-100% inhibition of diarrhea in mice at 0.01-250 mg/kg p.o.

IT 85608-39-5P 85608-54-4P 85608-55-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and pharmacol. activities of)
RN 85608-39-5 CAPLUS
CN 1,3-Benzenediamine, 2,4-dichloro-N3-(4,5-dihydro-1H-imidazol-2-yl)- (9CI) (CA INDEX NAME)

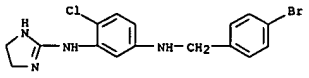
L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 85608-54-4 CAPLUS
CN 1,3-Benzenediamine, 4-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-N1-(phenylmethyl)- (9CI) (CA INDEX NAME)



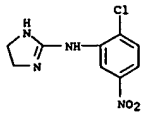
RN 85608-55-5 CAPLUS
CN 1,3-Benzenediamine, N1-[(4-bromophenyl)methyl]-4-chloro-N3-(4,5-dihydro-1H-imidazol-2-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



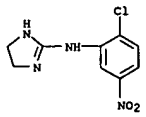
● HCl

IT 85608-58-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 85608-58-8 CAPLUS
CN 1H-Imidazol-2-amine, N-(2-chloro-5-nitrophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

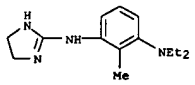


IT 85608-57-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 85608-57-7 CAPLUS
CN 1H-Imidazol-2-amine, N-(2-chloro-5-nitrophenyl)-4,5-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

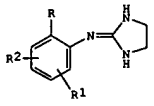
L4 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 24 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1982:35243 CAPLUS
DOCUMENT NUMBER: 96:35243
TITLE: Preparation and anovulatory method and chicken feed compositions of phenyliminoimidazolidines
INVENTOR(S): Olson, George; Tolman, Richard L.; Weppelman, Roger M.
PATENT ASSIGNEE(S): Merck and Co., Inc. , USA
SOURCE: U.S., 12 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4287201	A	19810901	US 1980-126743	19800303
EP 35393	A1	19810909	EP 1981-300838	19810227
R: BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8167968	A1	19810910	AU 1981-67968	19810302
JP 56147773	A2	19811116	JP 1981-29483	19810303
PRIORITY APPLN. INFO.:			US 1980-126743 A	19800303

OTHER SOURCE(S): CASREACT 96:35243
GRAPHIC IMAGE:



I

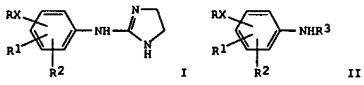
ABSTRACT:
The phenyliminoimidazolidines I [R = C1-4 alkyl, C2-5 alkenyl, halo; R1 = H, C1-4 alkyl, halo; R2 = C1-4 alkanoyl, C1-3 alkoxycarbonyl, CO2H, (un)substituted phenoxy, phenylthio, or alkyl, C2-5 alkenyl, dialkylamino] were
prepared Thus, 2-chloro-2-imidazoline was treated with 4,2-ClMeC6H3NH2 to give I
(R = Me, R1 = 4-Cl, R2 = H) (II). The anovulatory Egg Production Index of II was
24% of control production at 100 ppm and the antigonadal ED20 was 53 ppm.

IT 79909-96-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 79909-96-9 CAPLUS
CN 1,3-Benzenediamine, N'-(4,5-dihydro-1H-imidazol-2-yl)-N,N-diethyl-2-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:139807 CAPLUS
DOCUMENT NUMBER: 94:139807
TITLE: 2-Imidazoline derivatives and pharmaceutical compositions containing them
INVENTOR(S): Ueda, Ukuo; Matsuo, Masaaki; Taniguchi, Kiyoshi; Katsura, Yousuke
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 68 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 17484	A1	19801015	EP 1980-301061	19800402
EP 17484	B1	19830406		
R: AT, BE, CH, DE, FR, GB, IT, NL, SE				
ZA 8001680	A	19810325	ZA 1980-1680	19800321
CA 1138451	A1	19821228	CA 1980-348207	19800321
AU 8056892	A1	19801009	AU 1980-56892	19800327
AU 535979	B2	19840412		
DK 8001424	A	19801004	DK 1980-1424	19800401
JP 55136266	A2	19801023	JP 1980-43398	19800402
JP 02010830	B4	19900309		
ES 490292	A1	19810216	ES 1980-490292	19800402
AT 2953	E	19830415	AT 1980-301061	19800402
HU 27686	O	19831028	HU 1980-793	19800402
HU 184259	B	19840730		
PRIORITY APPLN. INFO.:			GB 1979-11537 A	19790403
			EP 1980-301061 A	19800402

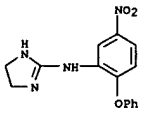
GRAPHIC IMAGE:



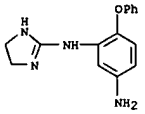
I II

ABSTRACT:
Anilinoimidazolines I (R = substituted aryl; R1, R2 = H, halogen, alkyl, alkoxy, alkanesulfonamido, haloalkyl, carbamoyl, NO2, amino, cyano, SO2NH2; X =
O, S, CH2, bond) were prepared by treating II, (R3 = H) with BzSCN, debenzoylating the II (R3 = CSNHBz), S-methylating II (R3 = CSNH2), and cyclizing II [R3 = C(SMe):NH] with H2NCH2CH2NH2. I (RX = 2-PhO, R1 = 5-Cl, R2 = H) caused 57% decrease in blood pressure at 10 mg/kg in rats. I (RX = 2-PhO,
R1 = 4-Me, R2 = H) caused 48.4% inhibition carrageenin-induced edema at 100 mg/kg orally in rats. I (RX = 3-MeO, R1 = 4-MeO, R2 = 5-MeO) had an analgesic ED50 of 50.1 mg/kg orally in the HOAc writhing test. I (RX = 2-Ph, R1 = R2 = H) caused 73.2% decrease in gastric acid secretion at 1 mg/kg i.v. in dogs.

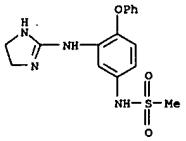
L4 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
IT **76841-09-3P 76841-10-6P 76841-11-7P**
76841-28-6P 76841-38-8P 76841-42-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 76841-09-3 CAPLUS
CN 1H-Imidazol-2-amine, 4,5-dihydro-N-(5-nitro-2-phenoxyphenyl)- (9CI) (CA INDEX NAME)



RN 76841-10-6 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-4-phenoxy- (9CI) (CA INDEX NAME)

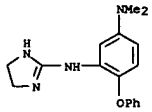


RN 76841-11-7 CAPLUS
CN Methanesulfonamide, N-[3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-4-phenoxyphenyl]- (9CI) (CA INDEX NAME)

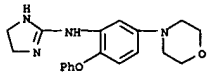


RN 76841-28-6 CAPLUS
CN 1,3-Benzenediamine, N3-(4,5-dihydro-1H-imidazol-2-yl)-N1,N1-dimethyl-4-phenoxy- (9CI) (CA INDEX NAME)

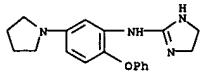
L4 ANSWER 25 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 76841-38-8 CAPLUS
CN 1H-Imidazol-2-amine, 4,5-dihydro-N-[5-(4-morpholinyl)-2-phenoxyphenyl]- (9CI) (CA INDEX NAME)



RN 76841-42-4 CAPLUS
CN 1H-Imidazol-2-amine, 4,5-dihydro-N-[2-phenoxy-5-(1-pyrrolidinyl)phenyl]- (9CI) (CA INDEX NAME)

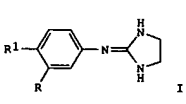


L4 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:4013 CAPLUS
DOCUMENT NUMBER: 94:4013
TITLE: 3,4-Disubstituted 2-phenyliminoimidazolidines and their acid addition salts
INVENTOR(S): Staehle, Helmut; Koeppe, Herbert; Kimmer, Werner; Walland, Alexander
PATENT ASSIGNEE(S): Boehringer, C. H., Sohn, Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2854659	A1	19800710	DE 1978-2854659	19781218
EP 12822	A1	19800709	EP 1979-104381	19791108
EP 12822	B1	19821201		
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AT 1903	E	19821215	AT 1979-104381	19791108
DK 7905371	A	19800619	DK 1979-5371	19791217
DK 146821	B	19840116		
DK 146821	C	19840625		
FI 7903948	A	19800619	FI 1979-3948	19791217
FI 68814	B	19850731		
FI 68814	C	19851111		
NO 7904114	A	19800619	NO 1979-4114	19791217
NO 148555	B	19830725		
NO 148555	C	19831123		
JP 55083754	A2	19800624	JP 1979-162896	19791217
JP 01018071	B4	19890403		
AU 7953893	A1	19800626	AU 1979-53893	19791217
AU 526539	B2	19830120		
ES 486971	A1	19801101	ES 1979-486971	19791217
ES 486970	A1	19801216	ES 1979-486970	19791217
ES 486967	A1	19810216	ES 1979-486967	19791217
CA 1112648	A1	19811117	CA 1979-342041	19791217
IL 58971	A1	19840330	IL 1979-58971	19791217
ZA 7906832	A	19810826	ZA 1979-6832	19791218

PRIORITY APPLN. INFO.: DE 1978-2854659 A 19781218
EP 1979-104381 A 19791108

GRAPHIC IMAGE:

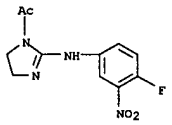


I

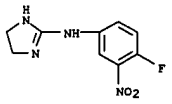
ABSTRACT:
α-Sympathomimetic (no data) phenyliminoimidazolines I (R = Me, NO2, Cl,

L4 ANSWER 26 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
NH2, R1 = F; R = F, R1 = Me) were prepd. Thus 3,4-FMeC6H3NHC(SMe):NH.HI was treated with H2NCH2CH2NH2 to give 73.41% I (R = F, R1 = Me).

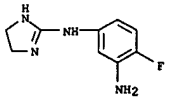
IT **75849-37-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(deacetylation of)
RN 75849-37-5 CAPLUS
CN 1H-Imidazol-2-amine, 1-acetyl-N-(4-fluoro-3-nitrophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)



IT **75849-38-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
RN 75849-38-6 CAPLUS
CN 1H-Imidazol-2-amine, N-(4-fluoro-3-nitrophenyl)-4,5-dihydro- (9CI) (CA INDEX NAME)



IT **75849-41-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 75849-41-1 CAPLUS
CN 1,3-Benzenediamine, N1-(4,5-dihydro-1H-imidazol-2-yl)-4-fluoro- (9CI) (CA INDEX NAME)

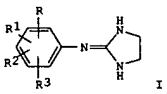


L4 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1980:41944 CAPLUS
DOCUMENT NUMBER: 92:41944
TITLE: Substituted 2-phenyliminoimidazolidines and their acid addition salts
INVENTOR(S): Staehle, Helmut; Koeppe, Herbert; Kummer, Werner; Hoefke, Wolfgang; Pichler, Ludwig
PATENT ASSIGNEE(S): Boehringer, C. H., Sohn, Fed. Rep. Ger.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2806811	A1	19790823	DE 1978-2806811	19780217
US 4213995	A	19800722	US 1979-11074	19790212
BE 874253	A1	19790816	BE 1979-193532	19790216
DK 7900691	A	19790818	DK 1979-691	19790216
DK 146066	B	19830620		
DK 146066	C	19831114		
NL 7901242	A	19790821	NL 1979-1242	19790216
AU 7944326	A1	19790823	AU 1979-44326	19790216
AU 519357	B2	19811126		
GB 2014983	A	19790905	GB 1979-5507	19790216
GB 2014983	B2	19821103		
FR 2417503	A1	19790914	FR 1979-4053	19790216
FR 2417503	B1	19801010		
JP 54122274	A2	19790921	JP 1979-17157	19790216
ES 477785	A1	19800201	ES 1979-477785	19790216

PRIORITY APPLN. INFO.: DE 1978-2806811 A 19780217

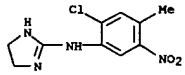
GRAPHIC IMAGE:



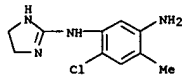
I

ABSTRACT:
The antihypertensive (no data) compds. I (R = F, Cl, Br; R1 = F, Cl; R2 = H, F, Me, CH2OH; R3 = H, F, NO2, NH2) were prepared by the reaction of an isothiuronium salt with H2NCH2CH2NH2 (II). Thus, 2,6,4-Cl2(HOCH2)C6H2NHC(SMe):NH.HI was refluxed with II in BuOH to give 40.5% I (R = 2-Cl, R1 = 6-Cl, R2 = 4-CH2OH, R3 = H), isolated as the hydrochloride.

L4 ANSWER 27 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
IT **72409-88-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and nitro group reduction in)
RN 72409-88-2 CAPLUS
CN 1H-Imidazol-2-amine, N-(2-chloro-4-methyl-5-nitrophenyl)-4,5-dihydro-(9CI) (CA INDEX NAME)



IT **72409-86-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72409-86-0 CAPLUS
CN 1,3-Benzenediamine, 6-chloro-N1-(4,5-dihydro-1H-imidazol-2-yl)-4-methyl-(9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:34096 CAPLUS
DOCUMENT NUMBER: 90:34096
TITLE: Clonidine assay
INVENTOR(S): Jarrott, Bevyn; Spector, Sidney
PATENT ASSIGNEE(S): Hoffmann-La Roche, Inc., USA
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4094964	A	19780613	US 1977-795576	19770510
DE 2739038	A1	19781123	DE 1977-2739038	19770830
JP 53141272	A2	19781208	JP 1977-103309	19770830
CA 1096305	A1	19810224	CA 1977-285870	19770831

PRIORITY APPLN. INFO.: US 1977-795576 A 19770510

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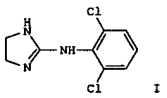
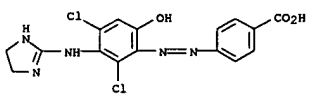


I

ABSTRACT:
A sensitive immunoassay for clonidine (I) [4205-90-7] is described. To prepare the I selective antiserum, an antigen is made comprising 4-{[6-[2,4-dichloro-3(4,5-dihydro-1H-imidazolin-2-yl)amino]hydroxyphenyl]azo]benzoic acid [***68406-30-4***] covalently bonded to an immunogenic carrier material through a peptide bond formed from said carboxyl group and amino groups contained in said immunogenic carrier material and the antigen is injected into a suitable host animal to elicit the desired antiserum.

IT **68406-30-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and clonidine immunoassay with)
RN 68406-30-4 CAPLUS
CN Benzoic acid, 4-[[2,4-dichloro-3-[(4,5-dihydro-1H-imidazol-2-yl)amino]-6-hydroxyphenyl]azo]- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1978:121181 CAPLUS
DOCUMENT NUMBER: 88:121181
TITLE: N-Substituted benzimidazolin-2-ones
INVENTOR(S): Cohnen, Erich
PATENT ASSIGNEE(S): Beiersdorf A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 18 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2626128	A1	19771222	DE 1976-2626128	19760611
PRIORITY APPLN. INFO.:			DE 1976-2626128 A	19760611

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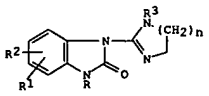
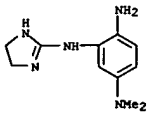


I

ABSTRACT:
Antihypertensive (no data) benzimidazolones I (n = 1,2; R = H, lower alkyl, hydroxyalkyl, acyloxyalkyl, methoxyalkyl, dialkylaminoalkyl, aralkyl; R1,R2 = H, halogen, Me, OMe, CF3, CN, NO2, NH2, dialkylamino; R3 = H, lower alkyl, acyl, acyloxyalkyl, hydroxyalkyl, methoxyalkyl, aralkyl) and salts were prepared
Thus, 2-O2NC6H4NH2 was formylated, 2-O2NC6H4NHCHO treated with SO2Cl2, 2-O2NC6H4N:CCl2 treated with H2NCH2CH2NH2, and 2-(2-nitroanilino)imidazoline reduced with Raney Ni to give 2-(2-aminoanilino)imidazoline, which was cyclized
with urea to give I (n = 1, R-R3 = H). Treatment of I (n = 1, R-R3 = H) with MeI gave I (n = 1, R = Me, R1 = R2 = H, R3 = H, Me). I (n = 1, R = Ac, R1-R3 =
H; n = 1, R = R2 = R3 = H, R1 = NO2, NH2) were also prepared from I (n = 1, R-R3
= H).

IT **65959-14-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, with urea)
RN 65959-14-0 CAPLUS
CN 1,2,4-Benzenetriamine, N2-(4,5-dihydro-1H-imidazol-2-yl)-N4,N4-dimethyl-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 29 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



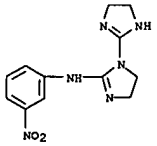
●3 HCl

L4 ANSWER 30 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1975:43420 CAPLUS
DOCUMENT NUMBER: 82:43420
TITLE: 2-Amino-1-(2-imidazolin-2-yl)-2-imidazolines
INVENTOR(S): Wittekind, Raymond R.; Shavel, John, Jr.
PATENT ASSIGNEE(S): Warner-Lambert Co.
SOURCE: U.S., 9 pp. Continuation-in-part of U.S. 3,666,767 (CA
77;101612w).
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3840554	A	19741008	US 1972-253361	19720515
US 3666767	A	19720530	US 1970-6639	19700128
PRIORITY APPLN. INFO.:			US 1970-6639 A2	19700128

GRAPHIC IMAGE: For diagram(s), see printed CA Issue.
ABSTRACT:
Amino(imidazolinyl)imidazolines I (R = aralkyl, cycloalkylmethyl, aryl, cycloalkyl, alkyl, H) (46 compds.), effective against ouabain-induced arrhythmia at 2-3 mg/kg, were prepared Thus 0.02 mole 2-methylthio-2-imidazoline-
HI was treated with 0.01 mole 3,4-(MeO)2C6H3-CH2CH2NH2 in the presence of Et3N to give 24% I.HI (R = 3,4-(MeO)2C6H3CH2CH2).

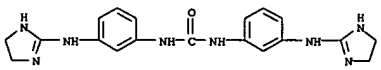
IT **54303-27-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 54303-27-4 CAPLUS
CN [1,2'-Bi-1H-imidazol]-2-amine, 4,4',5,5'-tetrahydro-N-(3-nitrophenyl)-, monohydriodide (9CI) (CA INDEX NAME)



● HI

L4 ANSWER 31 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1970:77292 CAPLUS
DOCUMENT NUMBER: 72:77292
TITLE: Babesicidal effect of basically substituted carbanilides. I. Activity against Babesia rodhaini in mice
AUTHOR(S): Schmidt, Gisela; Hirt, Rudolf; Fischer, Rudolf
CORPORATE SOURCE: Res. Inst., Berne, Switz.
SOURCE: Research in Veterinary Science (1969), 10(6), 530-3
CODEN: RVTSA9; ISSN: 0034-5288
DOCUMENT TYPE: Journal
LANGUAGE: English
ABSTRACT:
The babesicidal effect of a large number of dibasic compds. was tested in exptl.
B. rodhaini infection in mice. 3,3'-Bis(2-imidazolin-2-yl)carbanilide, [or 1,3-bis[m (2-imidazolin-2-yl)phenyl]urea], was the most effective.

IT **27885-97-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); BIOL (Biological study)
(babesicidal activity of)
RN 27885-97-8 CAPLUS
CN Carbanilide, 3,3'-bis(2-imidazolin-2-ylamino)- (8CI) (CA INDEX NAME)



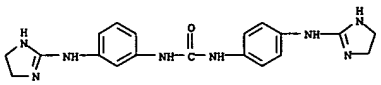
L4 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1968:87296 CAPLUS
DOCUMENT NUMBER: 68:87296
TITLE: Substituted carbanilides and thiocarbanilides
INVENTOR(S): Hirt, Rudolf; Fischer, Rudolf
PATENT ASSIGNEE(S): Dr. A. Wander, A.-G.
SOURCE: Patentschrift (Switz.), 3 pp.
CODEN: SWXXAS
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CH 428747		19670731	CH	19610911

GRAPHIC IMAGE: For diagram(s), see printed CA Issue.
ABSTRACT:
The title products (I), which are effective tuberculostats, are made by heating
2 moles of the correspondingly substituted amine with carbonic acid, thiocarbonic acid, or their derivs. Thus, 32 g. 2-(p-aminophenyl)imidazoline was treated for 1.5 hrs. in 500 ml. 1:1 water-acetone with 7.5 ml. CSCl2 to obtain 15 g. 4,4'-di-2-imidazolin-2-ylthiocarbanilide, m. 173-5°. Similarly, COCl2 was passed into a solution of 15 g. 2-(3-aminophenyl)imidazoline
dihydrochloride and 30 g. NaOAc in 150 ml. water and the precipitate recrystd. from
dilute AcOH and precipitated with HCl to obtain 15 g. 3,3'-di-2-imidazolin-2-ylcarbanilide dihydrochloride, m. 350° (decomposition). By the same procedure were made the hydrochlorides of following I (X = O) [substituents and
m.p. (d. = decomposition) given]: 4,4'-di-2-imidazolin-2-yl, 360° (d.); 2,2'-di-2-imidazolin-2-yl, 370° (d.); 3,3',5,5'-tetra-2-imidazolin-2-yl, 320° (d.); 1,1',4,4'-tetra-2-imidazolin-2-yl, 290° (d.); 4,4'-bis(4-methyl-2-imidazolin-2-yl), 330-5° (d.); 4-(2-imidazolin-2-yl)-4'-(1,4,5,6-tetrahydro-2-pyrimidinyl), 360° (d.); 4-(2-imidazolin-2-yl)-4'-[4-(or 5)methyl-2-imidazolin-2-yl], 325° (d.); 3,3'-bis(4-methyl-2-imidazolin-2-yl), 230° (d.); 3,3'-bis(1,4,5,6-tetrahydro-2-pyrimidinyl), 240° (d.); 4,4'-bis(2-imidazolin-2-ylamino) 272° (d.); 4,4'-bis(1-methyl-1,4,5,6-tetrahydro-2-pyrimidinyl), 334°; 4,4'-bis(1-methyl-2-imidazolin-2-yl), 325° (d.); 4,3'-di-2-imidazolin-2-yl, 225° (d.); 4,3'-bis(1,4,5,6-tetrahydro-2-pyrimidinyl), 282° (d.); 4,3'-bis-(2-imidazolin-2-ylamino), 215° (d.); 4,4'-bis(1,4,5,6-tetrahydro-2-pyrimidinyl), 240° (free base); 2,3'-di-2-imidazolin-yl, 360° (d.).

IT **17846-07-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 17846-07-0 CAPLUS
CN Carbanilide, 3,4'-bis(2-imidazolin-2-ylamino)-, dihydrochloride (8CI) (CA
INDEX NAME)

L4 ANSWER 32 OF 32 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●2 HCl

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                 IMIDAZOLIDINE)

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L12 ANSWER 1 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:609508 CAPLUS
DN 139:149634
TI Preparation of 2'-halo-3',5'-dialkoxyphen-1'-yl-imino-2-**imidazolidines** for treatment of urinary incontinence
IN **Esser, Frans**; **Kitagawa, Hisato**; **Muramatsu, Ikunobu**; Ishiguro, Naoki; Pouzet, Pascale
PA Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany
SO Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 1333028	A1	20030806	EP 2002-2352	20020131
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	CA 2474588	AA	20030807	CA 2002-2474588	20021216
	WO 2003064398	A1	20030807	WO 2002-EP14299	20021216
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1472231	A1	20041103	EP 2002-795198	20021216
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	JP 2005516066	T2	20050602	JP 2003-564021	20021216
	US 2003162822	A1	20030828	US 2003-351486	20030124
	US 6703409	B2	20040309		
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OS MARPAT 139:149634
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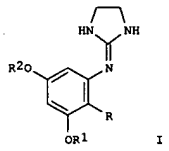

I

AB Title compds. (I; R = F, Cl, Br, CF3, CH2F, CHF2; R1, R2 = alkyl), were prepared Thus, (2-chloro-3,5-dimethoxyphenyl)thiourea in methanol was treated dropwise with Me iodide and the mixture was refluxed over 2 h to give a solid which was refluxed with ethane-1,2-diamine in MeOH for 8 h to give 2'-chloro-3',5'-dimethoxyphen-1'-ylimino-2-**imidazolidine**. The latter showed 66.2% of the contractility of noradrenaline on human urethra. I drug formulations are claimed.
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:609547 CAPLUS
DN 137:169519
TI Preparation of new alkyl phenyl imino **imidazolidine** derivatives for treatment of urinary incontinence
IN **Esser, Frans**; Pouzet, Pascale Arielle Jane-Josee; **Kitagawa, Hisato**; Sakai, Kenji; **Muramatsu, Ikunobu**; Hoffmann, Matthias
PA Boehringer Ingelheim Pharma Kg, Germany
SO Ger. Offen., 14 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10106214	A1	20020814	DE 2001-10106214	20010210
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	WO 2002064570	A1	20020822	WO 2002-EP576	20020122
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	EE 200300379	A	20031215	EE 2003-379	20020122
	BR 2002006949	A	20040225	BR 2002-6949	20020122
	CN 1491216	A	20040421	CN 2002-804570	20020122
	JP 2004517963	T2	20040617	JP 2002-564503	20020122
	US 2002169193	A1	20021114	US 2002-58456	20020128
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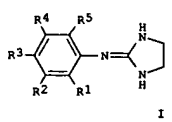
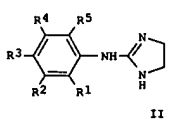
	WO 2002-EP576	W	20020122		
	US 2002-58456	B1	20020128		

OS MARPAT 137:169519
GI



I



II

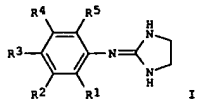
AB The present invention covers (m-alkylphenylimino)**imidazolidine** derivs. I [R1, R5 = H, F, Cl, Br, CF3, Me, OMe; R2, R4 = H, C3-6-alkyl; R3 = H, F, Cl, Br, CF3, Me], or its tautomers II and their pharmacol. acceptable salts, and their use for the prodn. of drugs, in particular for the treatment of urinary incontinence. Thus, I (R1 = R3 = R4 = H, R2 = CMe3, R5 = OMe) was prepd. from 5-(tert-butyl)-2-methoxyaniline via reaction with potassium isothiocyanate in acetone contg. PhCOCl followed by cyclocondensation with (CH2NH2)2 in MeOH contg. MeI. I (R1 = R3 = R4 = H, R2 = CMe3, R5 = OMe) was tested for its effectiveness [bioavailability = 34% in rat plasma; 0.7% degrdn. in the presence of enzyme CYP2D6; 71% contraction in dogs vs. 30% contraction in human urethra].
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:314917 CAPLUS
DN 136:325543
TI Preparation of aminophenyliminoimidazolidines for treating urinary incontinence.
IN **Esser, Franz**; Pouzet, Pascale Arielle Jane-Josee; **Kitagawa, Hisato**; Sakai, Kenji; **Muramatsu, Ikunobu**
PA Boehringer Ingelheim Pharma K.-G., Germany
SO PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002032876	A2	20020425	WO 2001-EP11764	20011011
	WO 2002032876	A3	20020718		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2425563	AA	20020425	CA 2001-2425563	20011011
	AU 2002015943	A5	20020429	AU 2002-15943	20011011
	DE 10150312	A1	20020704	DE 2001-10150312	20011011
	EP 1328517	A2	20030723	EP 2001-987747	20011011
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	EE 200300177	A	20030815	EE 2003-177	20011011
	BR 2001014603	A	20031014	BR 2001-14603	20011011
	JP 2004511547	T2	20040415	JP 2002-536060	20011011
	US 2002161031	A1	20021031	US 2001-976917	20011012
	US 6602897	B2	20030805		
	US 2003158420	A1	20030821	US 2003-349993	20030123
	US 6747051	B2	20040608		
	ZA 2003002345	A	20040423	ZA 2003-2345	20030326
	BG 107711	A	20040227	BG 2003-107711	20030408
	NO 2003001697	A	20030526	NO 2003-1697	20030411
PRAI	DE 2000-10051005	A	20001014		
	US 2000-248172P	P	20001114		
	WO 2001-EP11764	W	20011011		
	US 2001-976917	A1	20011012		

OS MARPAT 136:325543
GI

L12 ANSWER 3 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

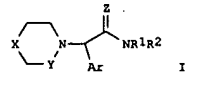
AB Use of title compds. (I; R1 = F, Cl, Br, CH2F, CF2H, CF3; R2 = NR6R7; R6 = Me, Et, Pr, iPr; R7 = Me, Et, Pr; R3, R4, R5 = H, Me, F, Cl, Br, CH2F, CF2H, CF3) for treatment of urinary incontinence, particularly stress incontinence, is claimed. Thus, 2'-bromo-5'-dimethylamino-6'-methylphen-1-yl-2-**iminoimidazolidine** in H2SO4 at 0° was treated with 1,3-dichloro-5,5-dimethylhydantoin under stirring followed by heating for 3 days at 55° to give 2'-bromo-3'-chloro-5'-dimethylamino-6'-methylphen-1'-yl-2-**iminoimidazolidine**. The latter as the hydrochloride gave 90% of the activity of noradrenaline in the human urethra.

L12 ANSWER 4 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:791611 CAPLUS
DN 136:262964
TI 3-Acyl-2-(N-cyanoimino)oxazolidine derivative, a new asymmetric acylating agent for racemic secondary alkyl amines
AU Maezaki, Naoyoshi; Furusawa, Akemi; **Uchida, Shuji**; Tanaka, Tetsuaki
CS Graduate School of Pharmaceutical Sciences, Osaka University, Suita, Osaka, 565-0871, Japan
SO Tetrahedron (2001), 57(45), 9309-9315
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 136:262964
AB A 3-acyl-2-(N-cyanoimino)oxazolidine derivative was found to serve as an enantioselective acylating agent for secondary alkyl amines. These reagents differentiate the enantiomers of 1-phenylethylamine derivs. up to 85% ee, and the recovered chiral auxiliary is reusable.
RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:781918 CAPLUS
DN 132:12330
TI Preparation of amidinopiperazines and related compounds as neurokinin antagonists.
IN **Esser, Franz**; Schnorrenberg, Gerd; Dollinger, Horst; **Luettke, Sven**; Jung, Birgit; Speck, Georg
PA Boehringer Ingelheim Pharma K.-G., Germany
SO Ger. Offen., 20 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19824470	A1	19991202	DE 1998-19824470	19980530
	CA 2333689	AA	19991209	CA 1999-2333689	19990522
	WO 9962893	A2	19991209	WO 1999-EP3531	19990522
	WO 9962893	A3	20000309		
	W: CA, JP, MX, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	EP 1086088	A2	20010328	EP 1999-926368	19990522
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	JP 2002517386	T2	20020618	JP 2000-552105	19990522
	US 6103719	A	20000815	US 1999-320974	19990527
	US 6277840	B1	20010821	US 2000-566754	20000509
	US 2002010173	A1	20020124	US 2001-897664	20010702
	US 6429328	B2	20020806		
	US 2002147359	A1	20021010	US 2002-85818	20020225
	US 2002133012	A1	20020919	US 2002-85592	20020227
	US 6455700	B2	20020924		
PRAI	DE 1998-19824470	A	19980530		
	WO 1999-EP3531	W	19990522		
	US 1999-320974	A3	19990527		
	US 2001-897664	A3	20010702		

OS MARPAT 132:12330
GI



I

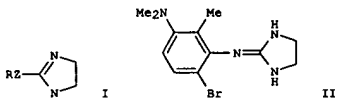
AB Title compds. [I; X = NR3, CHR4; R3 = (R6R7C)R5N:C, Ar(HN:)C; R5-R7 = H, alkyl, cycloalkyl, alkenyl, aryl, aralkyl, acyl, heteroaryl, cyano, etc.; R5R6 or R6R7 = atoms to form a ring; R4 = NR5C(:NR8)NR6R7, NR5C(:NH)Ph; R8 = H, alkyl; R7R8 = atoms to form a ring; Y = CH2, CH2CH2; Z = O, H2; Ar = (substituted) Ph, naphthyl; R1 = (substituted) phenylalkyl, phenylacyl, naphthyl, naphthylacetyl], were prepared for treatment of neurokinin-mediated disease (no data). Thus, 1-[2-phenylacetic acid N-methyl-N-(3,5-bistrifluoromethylphenylethyl)amido]piperazine was stirred with aminoiminomethanesulfonic acid and K2CO3 in H2O/MeOH for 2 days to give 1-amidino-4-[2-phenylacetic acid N-methyl-N-(3,5-

L12 ANSWER 5 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
bistrifluoromethylphenylethyl)amido]piperazine dihydrochloride.

L12 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:710262 CAPLUS
DN 126:8114
TI Preparation of phenyliminoimidazolidines and analogs as α1L-adrenoceptor agonists
IN **Esser, Frans; Staehle, Helmut; Luettke, Sven ; Muramatsu, Ikunobu; Kitagawa, Hisato; Uchida, Shuji M. D.**
PA Boehringer Ingelheim Kg, Germany
SO Ger. Offen., 15 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19514579	A1	19961024	DE 1995-19514579	19950420
	CA 2214338	AA	19961024	CA 1996-2214338	19960413
	WO 9632939	A1	19961024	WO 1996-EP1568	19960413
	W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, JP, KR, KZ, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9656878	A1	19961107	AU 1996-56878	19960413
	AU 719710	B2	20000518		
	EP 821585	A1	19980204	EP 1996-914912	19960413
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	CN 1180311	A	19980429	CN 1996-193093	19960413
	CN 1119148	B	20030827		
	BR 9608049	A	19990126	BR 1996-8049	19960413
	JP 11503738	T2	19990330	JP 1996-531455	19960413
	JP 3379960	B2	20030224		
	NZ 307509	A	20000623	NZ 1996-307509	19960413
	PL 184881	B1	20030131	PL 1996-324041	19960413
	EP 1285653	A1	20030226	EP 2002-25309	19960413
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
	JP 2003064058	A2	20030305	JP 2002-236562	19960413
	RU 2230061	C2	20040610	RU 1997-119064	19960413
	EE 4416	B1	20050215	EE 1997-267	19960413
	TW 403739	B	20000901	TW 1996-85104648	19960418
	IL 117956	A1	20011125	IL 1996-117956	19960418
	ZA 9603131	A	19961021	ZA 1996-3131	19960419
	BG 64116	B1	20040130	BG 1997-101966	19971015
	NO 9704821	A	19971017	NO 1997-4821	19971017
	US 6268389	B1	20010731	US 1999-227944	19990111
	US 2002040150	A1	20020404	US 2000-536728	20000328
	US 2003114425	A1	20030619	US 2002-295460	20021115
	US 6858594	B2	20050222		
	US 2004198796	A1	20041007	US 2004-827408	20040419
PRAI	DE 1995-19514579	A	19950420		
	JP 1996-531455	A3	19960413		
	WO 1996-EP1568	W	19960413		
	EP 1996-914912	A3	19961014		
	US 1998-913900	A1	19980226		
	US 1999-227944	A3	19990111		

L12 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
US 2000-536728 A1 20000328
OS MARPAT 126:8114
GI

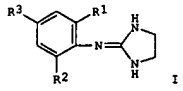


AB Title compds. [tautomeric I in which Z = NH and I (Z = CH2 OCH2, N:N, etc.); R = (un)substituted Ph, -naphthyl, heterocyclyl] were prepared Thus, 2,4-Me(Me2N)C6H3N:C(NH2)SMe.HI (preparation given) was cyclocondensed with H2NCH2CH2NH2 and the product brominated to give title compound II. Data for in vivo biol. activity of II were given.

L12 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1989:95240 CAPLUS
DN 110:95240
TI Preparation of 2-(phenylimino)**imidazolidines** as α1-adrenergic agonists
IN **Esser, Frans; Staehle, Helmut;** Koeppe, Herbert; Speck, Georg; Mierau, Joachim; Pichler, Ludwig; Lehr, Erich
PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SO Ger. Offen., 7 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3712385	A1	19881027	DE 1987-3712385	19870411
PRAI	DE 1987-3712385		19870411		

OS CASREACT 110:95240; MARPAT 110:95240
GI

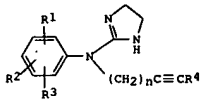


AB The title compds. [I; R1, R2 = F, Cl, Br, iodo; R3 = (substituted) C1-4 alkyl] and pharmaceutically acceptable salts were prepared as CNS agents and cyto- and cardioprotectants. KSCN in acetone was treated with PhCOCl at 15° and 2-chloro-4-isopropylaniline was added. The mixture was refluxed 3.25 h to give 70.5% (2-chloro-4-isopropylphenyl)thiourea. The latter was sequentially refluxed with MeI in MeOH, refluxed with H2NCH2CH2NH2 in MeOH, stirred with 5N NaOH, and treated with Br in CHCl3 at 0-8° to give 2-(2-chloro-4-isopropylphenylimino) **imidazolidine**.HBr. The latter at 1 mg/kg in mice increased survival in a hypoxia screen from 40% (controls) to 70%.

L12 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1987:55978 CAPLUS
DN 106:55978
TI Pharmaceutical 2-(N-alkynyl-N-phenylamino)imidazolines
IN **Esser, Frans**; **Staehle, Helmut**; Koeppe, Herbert; Abele, Wolfgang; Pichler, Ludwig; Kobinger, Walter; Arndts, Dietrich
PA Boehringer Ingelheim International G.m.b.H., Fed. Rep. Ger.
SO Ger. Offen., 20 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3514351	A1	19861106	DE 1985-3514351	19850420
EP 202461	A1	19861126	EP 1986-105042	19860412
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
DK 8601759	A	19861021	DK 1986-1759	19860417
FI 8601643	A	19861021	FI 1986-1643	19860418
AU 8656377	A1	19861023	AU 1986-56377	19860418
JP 61249970	A2	19861107	JP 1986-88382	19860418
ES 554133	A1	19870401	ES 1986-554133	19860418
ZA 8602923	A	19871230	ZA 1986-2923	19860418
PRAI DE 1985-3514351	A	19850420		

OS CASREACT 106:55978
GI



I

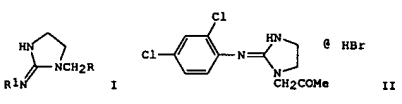
AB Title compds. I (R1-R3 = H, halo, alkyl, haloalkyl, alkoxy, haloalkoxy, cycloalkyl; R4 = halo, alkyl, aryl, etc.; n = 1-3) and their salts are prepared as drugs for the treatment of coronary diseases. Thus, 2-(2,6-dichlorophenylimino)**imidazolidine** was refluxed with 2-butyn-1-yl toluenesulfonate in anhydrous MeCN for 5 h to give 2-[N-(2-butyn-1-yl)-N-(2,6-dichlorophenyl)amino]imidazoline (II). I is free of the undesired metabolic formation of **phenyliminoimidazolidine** deriva. An injection solution contains II-HBr 1.5, EDTA Na salt 0.2, and distilled H2O to 100 parts.

L12 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1986:543955 CAPLUS
DN 105:143955
TI Structure of 1-acetonyl-2-[(2,4-dichlorophenyl)imino]**imidazolidine** hydrochloride: a new analgesic compound
AU Carpy, Alain; H'Naifi, Abdeslam; **Esser, Frans**; **Staehle, Helmut**
CS Fac. Pharm., Univ. Bordeaux II, Bordeaux, 33076, Fr.
SO Acta Crystallographica, Section C: Crystal Structure Communications (1986), C42(8), 1068-71
CODEN: ACSCEE; ISSN: 0108-2701
DT Journal
LA English
AB The title compound is monoclinic, space group P21/n, with a 17·930(1), b 9·427(3), c 18·008(3) Å, and β 90·38(4)° d.(calculated) = 1.41 for Z = 4 (2 mols./Z). Final R = 0·051 for 3088 reflections. Atomic coordinates are given. The title compound is structurally related to clonidine; however, its prevailing activity is one of producing analgesia. The guanidine function is involved in the protonation process. The delocalization of the pos. charge was evidenced by CNDO/2 calcns. The overall conformation in the crystal and in vacuum (PCILO calcns.) is biplanar (with an angle of .apprx.70(1)° between the planes). The 2 nonsubstituted N atoms of the guanidine group are involved in H bonds responsible for the crystalline cohesion.

L12 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1985:113496 CAPLUS
DN 102:113496
TI 1-Acetonyl-2-(phenylimino)**imidazolidines** and their acid addition salts
IN **Esser, Frans**; Koeppe, Herbert; Abele, Wolfgang; Stockhaus, Klaus
PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SO Ger. Offen., 12 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3321282	A1	19841213	DE 1983-3321282	19830613
US 4588736	A	19860513	US 1984-612340	19840521
EP 129153	A1	19841227	EP 1984-106487	19840606
EP 129153	B1	19861120		
R: AT, BE, CH, DE, FR, IT, LI, LU, NL, SE				
AT 23715	E	19861215	AT 1984-106487	19840606
DK 8402869	A	19841214	DK 1984-2869	19840612
FI 8402360	A	19841214	FI 1984-2360	19840612
NO 8402348	A	19841214	NO 1984-2348	19840612
JP 60008268	A2	19850117	JP 1984-119251	19840612
ES 533320	A1	19850801	ES 1984-533320	19840612
ZA 8404411	A	19860226	ZA 1984-4411	19840612
CA 1226580	A1	19870908	CA 1984-456405	19840612
AU 8429344	A1	19841220	AU 1984-29344	19840613
GB 2142627	A1	19850123	GB 1984-15087	19840613
GB 2142627	B2	19861112		
PRAI DE 1983-3321282	A	19830613		
EP 1984-106487	A	19840606		

OS CASREACT 102:113496
GI



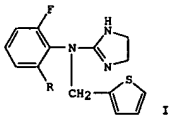
I II

AB The title compds. [I; R = MeCO; R1 = (un)substituted Ph] were prepared by heating the corresponding propargyl derivative I (R = HC.tplbond.C) in aqueous H2SO4 in presence of a heavy metal catalyst. Thus, I (R = HC.tplbond.C, R1 = 2,4-Cl2C6H3) was heated 7 h at 60° in dilute aqueous H2SO4 containing HgSO4 and the resulting propanone treated with aqueous HBr to give 77.3% II.
II was an effective analgesic in the writhing test in mice with an ED50 of 0.3 mg/kg s.c.

L12 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1985:50913 CAPLUS
DN 102:50913
TI Bradycardiac or analgesic 2-[N-(thienyl-2-methyl)-N-(2-fluoro-6-bromo- or trifluoromethylphenyl)amino]-2-imidazoline and its salts
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Kobinger, Walter; Stockhaus, Klaus
PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SO U.S., 4 pp. Cont.-in-part of U.S. Ser. No. 313,475, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4478844	A	19841023	US 1982-433086	19821006
DE 2951601	A1	19810702	DE 1979-2951601	19791221
PRAI DE 1979-2951601	A	19791221		
US 1980-215106	A1	19801210		
US 1981-313475	A2	19811021		

OS CASREACT 102:50913
GI



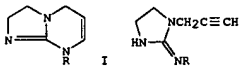
I

AB I (R = Br or CF3) or their salts are useful as bradycardiacs, analgesics and locomotion inhibitors. I (R = Br) [79456-03-4] and I (R = CF3) [94152-70-2] were prepared by reaction of the corresponding 2-[(2-fluoro-6-substituted-phenyl)imino]**imidazolidine** with 2-chlorometylthiophene [765-50-4] in toluene in the presence of Et3N. Tablets were prepared containing 5 mg I (R = Br) and injections were prepared containing 1 mg I (R = CF3)/2 mL 0.9% saline solution

L12 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:126153 CAPLUS
DN 98:126153
TI Imidazo[1,2-a]pyrimidines, their acid addition salts and drugs containing them
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Stockhaus, Klaus; Gaida, Wolfram; Hoefe, Wolfgang
PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SO Ger. Offen., 13 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3124718	A1	19830113	DE 1981-3124718	19810624
	EP 68302	A1	19830105	EP 1982-105264	19820616
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 4438118	A	19840320	US 1982-389284	19820617
	FI 8202236	A	19821225	FI 1982-2236	19820622
	NO 8202076	A	19821227	NO 1982-2076	19820622
	DK 8202818	A	19821225	DK 1982-2818	19820623
	JP 58004784	A2	19830111	JP 1982-108262	19820623
	ES 513377	A1	19830701	ES 1982-513377	19820623
	HU 27927	O	19831128	HU 1982-2032	19820623
	HU 185108	B	19841228		
	ZA 8204437	A	19840229	ZA 1982-4437	19820623
	CS 226449	P	19840319	CS 1982-4664	19820623
	AU 8285314	A1	19830106	AU 1982-85314	19820624
	DD 205165	A5	19831221	DD 1982-241056	19820624
	ES 518499	A1	19831001	ES 1982-518499	19821223
PRAI	DE 1981-3124718	A	19810624		

OS CASREACT 98:126153
GI



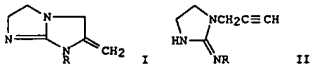
I II

AB The imidazopyrimidines I (R = Ph, FC6H4, ClC6H4, BrC4H4, MeC4H4 F3CC6H4) and for their acid addition salts were prepared for analgesics and antihypertensives and for treatment of heart disease (no data) by cyclization of the propargyliminoimidazolidines II. Thus, II (R = 2,6-Cl2C4H3) underwent cyclization in refluxing EtOH to give 20.5% I.

L12 ANSWER 13 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:107294 CAPLUS
DN 98:107294
TI 1-Substituted imidazo[1,2-a]imidazoles, their acid addition salts and pharmaceuticals containing them
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Stockhaus, Klaus; Hoefke, Wolfgang; Gaida, Wolfram
PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.
SO Ger. Offen., 10 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3124701	A1	19830113	DE 1981-3124701	19810624
	EP 69254	A1	19830112	EP 1982-105265	19820616
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 4454149	A	19840612	US 1982-389283	19820617
	FI 8202235	A	19821225	FI 1982-2235	19820622
	NO 8202075	A	19821227	NO 1982-2075	19820622
	DK 8202817	A	19821225	DK 1982-2817	19820623
	AU 8285126	A1	19830106	AU 1982-85126	19820623
	JP 58004783	A2	19830111	JP 1982-108261	19820623
	ES 513376	A1	19830701	ES 1982-513376	19820623
	SU 1060113	A3	19831207	SU 1982-3455995	19820623
	HU 28481	O	19831228	HU 1982-2033	19820623
	HU 185181	B	19841228		
	CS 224650	P	19840116	CS 1982-4663	19820623
	ZA 8204436	A	19840229	ZA 1982-4436	19820623
	DD 208620	A5	19840404	DD 1982-241058	19820624
PRAI	DE 1981-3124701	A	19810624		

OS CASREACT 98:107294
GI



I II

AB The imidazoimidazoles I (R = (un)substituted phenyl) and their addition salts were prepared as analgesics, antihypertensives, and for treatment of heart disease (no data) by cyclization of the propargyliminoimidazolidines II. Thus, II (R = 2,4-Cl2C6H3) was cyclized by HCl in EtOH to give 15.9% I (R = 2,4-Cl2C6H3).

L12 ANSWER 14 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:72103 CAPLUS
DN 98:72103
TI Substituted 2-phenylamino-2-imidazolines and salts
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Kobinger, Walter; Lillie, Christian; Pichler, Ludwig; Hoefke, Wolfgang; Gaida, Wolfram
PA Boehringer Ingelheim International G.m.b.H., Fed. Rep. Ger.
SO U.S., 5 pp. Cont.-in-part of U.S. Ser. No. 208,519, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

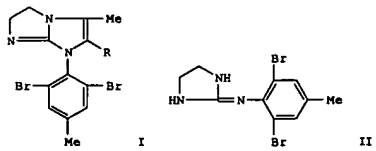
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4361575	A	19821130	US 1981-276703	19810623
	DE 2947563	A1	19810604	DE 1979-2947563	19791126
PRAI	DE 1979-2947563	A	19791126		
	US 1980-208519	A2	19801120		

OS CASREACT 98:72103
AB 1-Ethyl-2-[(2,6-dichlorophenyl)propylamino]-2-imidazoline (I) and similar compds. were prepared Thus, 1-ethyl-2-(2,6-dichlorophenylimino) **imidazolidine** was heated with PrBr in MeCN to give I. Similarly prepared was 1-methyl-2-(allyl(2,6-dichlorophenyl)amino]-2-imidazoline, which reduced spinal rat heart rate by 150 beats/min at a dose of 1.8 mg/kg.

L12 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1982:562978 CAPLUS
DN 97:162978
TI 7-(2,6-Dibromo-4-methylphenyl)-2,3-dihydroimidazo[1,2-a]imidazoles, their acid addition salts and medicines containing them
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Kobinger, Walter; Pichler, Ludwig; Lillie, Christian
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 51809	A1	19820519	EP 1981-109106	19811028
	R: AT, BE, CH, DE, FR, IT, LU, NL, SE				
	DE 3042636	A1	19820616	DE 1980-3042636	19801112
	IL 64242	A1	19850531	IL 1981-64242	19811109
	FI 8103562	A	19820513	FI 1981-3562	19811110
	FI 71561	B	19861010		
	FI 71561	C	19870119		
	CS 223848	P	19831125	CS 1981-8256	19811110
	DD 208154	A5	19840328	DD 1981-234740	19811110
	CA 1171090	A1	19840717	CA 1981-389832	19811110
	DK 8104993	A	19820513	DK 1981-4993	19811111
	DK 153151	B	19880620		
	DK 153151	C	19881024		
	NO 8103822	A	19820513	NO 1981-3822	19811111
	NO 156691	B	19870727		
	NO 156691	C	19871104		
	GB 2086900	A	19820519	GB 1981-34005	19811111
	AU 8177391	A1	19820520	AU 1981-77391	19811111
	AU 548784	B2	19860102		
	JP 57109788	A2	19820708	JP 1981-180924	19811111
	ES 507016	A1	19821216	ES 1981-507016	19811111
	HU 24874	O	19830428	HU 1981-3374	19811111
	HU 182413	B	19840130		
	ZA 8107788	A	19830727	ZA 1981-7788	19811111
	PL 133210	B1	19850531	PL 1981-233772	19811111
	US 4409235	A	19831011	US 1982-404538	19820802
PRAI	DE 1980-3042636	A	19801112		
	US 1981-317014	A1	19811102		

OS CASREACT 97:162978
GI



I II

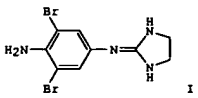
AB Imidazoimidazoles I (R = H, Me) were prepared for use as heart

L12 ANSWER 15 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
rate-decreasing agents (no data). Thus, the imine II was treated with MeCOCHRCl to give 17.9% I (R = H) or 7% I (R = Me).

L12 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1982:35255 CAPLUS
DN 96:35255
TI 2-(3,5-Dibromo-4-amino-phenylimino)-**imidazolidine**, its salts and compositions
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Hoefke, Wolfgang; Gaida, Wolfram; Pichler, Ludwig
PA Boehringer Ingelheim G.m.b.H., Fed. Rep. Ger.
SO U.S., 3 pp. Cont.-in-part of U.S. 4,250,186.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4293564	A	19811006	US 1980-179839	19800820
	DE 2806775	A1	19790830	DE 1978-2806775	19780217
	US 4250186	A	19810210	US 1979-12650	19790216
PRAI	DE 1978-2806775	A	19780217		
	US 1979-12650	A2	19790216		

GI



I

AB The bradycardiac title compound (I) was prepared Thus, 30.35 g 2-(4-amino-3,5-dibromophenyl)-methylisothiouronium hydriodide was treated with 6.5 g H2NCH2CH2NH2 in MeOH to give 13.3% I.HCl. At 1 mg/kg I reduced the heart beat of rabbits by 202 beats/min.

L12 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1981:443108 CAPLUS
DN 95:43108
TI Pharmaceutical 2-(2-chloro-4-cyclopropylphenylimino)-**imidazolidine** and its acid addition salts
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Hoefke, Wolfgang; Pichler, Ludwig
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 11 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2933930	A1	19810312	DE 1979-2933930	19790822
	EP 24673	A1	19810311	EP 1980-104917	19800819
	EP 24673	B1	19830720		
	R: BE, IT				
	WO 8100565	A1	19810305	WO 1980-EP81	19800820
	W: AT, AU, CH, DE, DK, FR, GB, HU, JP, LU, NL, NO, RO, SE, SU, US				
	AU 8063327	A1	19810319	AU 1980-63327	19800820
	AU 538245	B2	19840802		
	JP 56501087	T2	19810806	JP 1980-52037	19800820
	EP 34623	A1	19810902	EP 1980-901722	19800820
	EP 34623	B1	19830720		
	R: AT, CH, DE, FR, GB, LI, LU, NL, SE				
	RO 82161	P	19830707	RO 1980-104087	19800820
	AT 4204	E	19830815	AT 1980-901722	19800820
	HU 181790	B	19831128	HU 1981-1056	19800820
	HU 24129	O	19821228		
	ES 494417	A1	19810816	ES 1980-494417	19800821
	FI 8002651	A	19810223	FI 1980-2651	19800822
	FI 68815	B	19850731		
	FI 68815	C	19851111		
	DD 152783	C	19811209	DD 1980-223464	19800822
	CS 212724	P	19820326	CS 1980-5760	19800822
	ZA 8005201	A	19820728	ZA 1980-5201	19800822
	CA 1146949	A1	19830524	CA 1980-360243	19800915
	NO 8101156	A	19810403	NO 1981-1156	19810403
	NO 150118	B	19840514		
	NO 150118	C	19840822		
	DK 8101573	A	19810407	DK 1981-1573	19810407
	US 4341788	A	19820727	US 1981-253860	19810415
	SU 1021341	A3	19830530	SU 1981-3272152	19810421
PRAI	DE 1979-2933930	A	19790822		
	EP 1980-901722	A	19800820		
	WO 1980-EP81	A	19800820		

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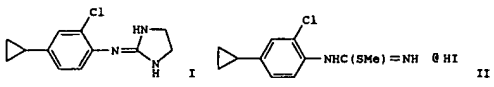
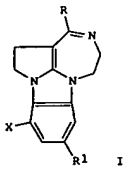


I II

AB The bradycardiac (no data) title compound (I) was prepared by acetylating 4-cyclopropylaniline, chlorinating acetanilide, deacetylating, treating

L12 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
the chloro aniline with NH4SCN, and S-methylating to give the isothiouronium salt II which was condensed with H2NCH2CH2NH2 to give I.

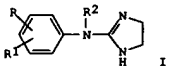
L12 ANSWER 18 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:603609 CAPLUS
DN 93:203609
TI Unusual course of the reaction of α-acyl-γ-butyrolactones with 2-(2,6-dihalophenylimino)**imidazolidines**
AU **Staehle, Helmut**; Koeppe, Herbert; Daniel, Helmut; Pook, Karl Heinz; Foerster, Hans Joachim; Hecht, Hans Juergen; Steglich, Wolfgang
CS Hauptabt. Forsch., C. H. Boehringer Sohn, Ingelheim/Rhein, D-6507, Fed. Rep. Ger.
SO Chemische Berichte (1980), 113(9), 2841-51
CODEN: CHBEAM; ISSN: 0009-2940
DT Journal
LA German
OS CASREACT 93:203609
GI



I

AB Heating the title compds. in HMPT yielded ethano-1H-[1,4]diazepino[1,7-a]benzimidazoles I (R = Me, Et, Pr; R1 = H, Br; X = Cl, Br) in low yields.
The structures of I are derived from spectroscopic data and results from the oxidative degradation and x-ray structure anal. of I (R = Me, R1 = H, X = Cl).

L12 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:560967 CAPLUS
DN 93:160967
TI Chemistry, pharmacology, and structure-activity relationships with a new type of imidazolines exerting a specific bradycardic action at a cardiac site
AU **Staehle, Helmut**; Daniel, Helmut; Kobinger, Walter; Lillie, Christian; Pichler, Ludwig
CS Dep. Med. Chem., C.H. Boehringer Sohn, Ingelheim/Rhein, D-6507, Fed. Rep. Ger.
SO Journal of Medicinal Chemistry (1980), 23(11), 1217-22
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 93:160967
GI



I

AB The title compds. I (R and R1 = H, Br, Cl, F; R2 = alkyl, allyl, cyclopropylmethyl, etc.) were prepared by the reaction of alkyl halides with 2-(arylimino)imidazolines. 2-[N-(Cyclopropylmethyl)-N-(2,6-dibromophenyl)amino]imidazoline [66542-09-4] prepared by the reaction of 2-[(2,6-dibromophenyl)imino]**imidazolidine** [4205-93-0] with (chloromethyl)cyclopropane [5911-08-0] showed the greatest bradycardia potency. A conformationally planar structure in which bulky halogen substituents were introduced in the 2 and 6 position of the Ph ring is necessary for activity.

L12 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:471775 CAPLUS
DN 93:71775
TI Substituted 2-phenylamino-2-imidazolines and their addition salts
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Kobinger, Walter; Lillie, Christian; Pichler, Ludwig
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 13 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 2831657	A1	19800207	DE 1978-2831657	19780719
PRAI DE 1978-2831657	A	19780719		

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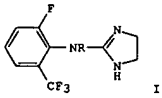
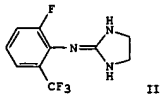


I



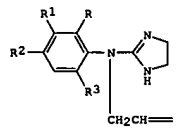
II

AB Aminoimidazolines I [R = CH2CMe:CH2, CH2CH:CHMe, CH2CH2CH:CH2, Pr, Bu, (CH2)4Me, CH2CH2CHMe2, CH2CH:CMe2, CH2CH:CHPh, cyclobutyl-, cyclopentylmethyl], useful in treating bradycardia (no data), were prepared by treating **imidazolidine** II with halides RX. Thus, heating II with H2C:CMeCH2Cl in MeOH 15 h at 110° gave I (R = H2C:CMeCH2).

L12 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:426440 CAPLUS
DN 93:26440
TI Substituted 2-phenylamino-2-imidazolines and their acid addition salts
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Kobinger, Walter; Lillie, Christian; Pichler, Ludwig
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 15 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 2832310	A1	19800207	DE 1978-2832310	19780722
EP 7991	A1	19800220	EP 1979-102093	19790625
R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DK 7903070	A	19800123	DK 1979-3070	19790720
FI 7902275	A	19800123	FI 1979-2275	19790720
NO 7902406	A	19800123	NO 1979-2406	19790720
AU 7949101	A1	19800131	AU 1979-49101	19790720
JP 55019272	A2	19800209	JP 1979-92500	19790720
ZA 7903720	A	19810325	ZA 1979-3720	19790720
ES 482742	A1	19800416	ES 1979-482742	19790721
PRAI DE 1978-2832310	A	19780722		

GI



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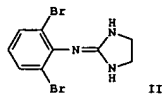

II

AB The title compds. I (R = R3 = Br, F, R = F, R3 = CF3, Cl, R = Br, R3 = Cl, F, R1 = R2 = H; R = R2 = Cl, R1 = R3 = H; R = Br, R1 = Cl, R2 = R3 = H; R = R3 = Cl, R1 = H, R2 = CO2H, CO2Et, MeO) and their acid addition salts, useful in treating bradycardia (no data), were prepared Thus, (phenylimino)**imidazolidine** II and BrCH2CH:CH2 in MeOH were heated 60 hg at 100° to give 22.3% I (R = R3 = Br, R1 = R2 = H).

L12 ANSWER 22 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:198402 CAPLUS
DN 92:198402
TI Substituted 2-phenylamino-2-imidazolines
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Hoefke, Wolfgang
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 14 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2831143	A1	19800131	DE 1978-2831143	19780715
	EP 7986	A1	19800220	EP 1979-101924	19790613
	EP 7986	B1	19810916		
	R: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
	AT 225	E	19811015	AT 1979-101924	19790613
	JP 55015482	A2	19800202	JP 1979-89179	19790713
	US 4239764	A	19801216	US 1979-57582	19790716
PRAI	DE 1978-2831143	A	19780715		
	EP 1979-101924	A	19790613		

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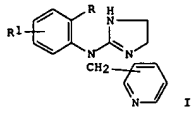

I

AB The title compds. (I; R = H, Cl, Me, OMe; R1 = Cl, Me, Br, F, OMe, CN) and their salts were prepared for use as heart stimulants (no data). Thus, 2-(2,6-dichlorophenylimino)**imidazolidine** reacted with 2-(chloromethyl)pyridine-HCl in MeOCH2CH2OH to give I (R = Cl, R1 = 6-Cl, 2-pyridyl).

L12 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:41946 CAPLUS
DN 92:41946
TI Substituted 2-phenyliminoimidazolidines and their acid addition salts
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Hoefke, Wolfgang; Gaida, Wolfram; Pichler, Ludwig
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 18 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2806775	A1	19790830	DE 1978-2806775	19780217
	SU 812175	A3	19810307	SU 1979-2721602	19790209
	AT 7901015	A	19820715	AT 1979-1015	19790212
	AT 370093	B	19830225		
	RO 81504	P	19830429	RO 1979-103172	19790213
	CH 640230	A	19831230	CH 1979-1428	19790214
	FI 7900510	A	19790818	FI 1979-510	19790215
	FI 69301	B	19850930		
	FI 69301	C	19860110		
	DD 142048	C	19800604	DD 1979-211044	19790215
	IL 56678	A1	19820131	IL 1979-56678	19790215
	HU 22938	O	19820728	HU 1979-BO1764	19790215
	HU 180430	B	19830328		
	BE 874252	A1	19790816	BE 1979-193531	19790216
	DK 7900694	A	19790818	DK 1979-694	19790216
	NO 7900523	A	19790820	NO 1979-523	19790216
	NO 151239	B	19841126		
	NO 151239	C	19850306		
	NL 7901241	A	19790821	NL 1979-1241	19790216
	AU 7944325	A1	19790823	AU 1979-44325	19790216
	AU 519356	B2	19811126		
	GB 2014575	A	19790830	GB 1979-5506	19790216
	GB 2014575	B2	19821110		
	FR 2417502	A1	19790914	FR 1979-4052	19790216
	FR 2417502	B1	19810626		
	JP 54122273	A2	19790921	JP 1979-17156	19790216
	ES 477784	A1	19800401	ES 1979-477784	19790216
	ZA 7900709	A	19801029	ZA 1979-709	19790216
	US 4250186	A	19810210	US 1979-12650	19790216
	PL 115759	B1	19810430	PL 1979-213475	19790216
	PL 116527	B1	19810630	PL 1979-221508	19790216
	CA 1115717	A1	19820105	CA 1979-321805	19790216
	RO 76799	P	19810530	RO 1979-96602	19790217
	CS 207773	P	19810831	CS 1979-1092	19790219
	CS 207774	P	19810831	CS 1979-8500	19790219
	ES 485043	A1	19800516	ES 1979-485043	19791016
	SU 828964	A3	19810507	SU 1980-2874805	19800130
	US 4293564	A	19811006	US 1980-179839	19800820
PRAI	DE 1978-2806775	A	19780217		
	US 1979-12650	A2	19790216		

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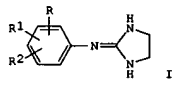
L12 ANSWER 23 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



I

AB The title compds. I (R = Br, Cl, OH, SMe; R1 = H, OH, F, Br; R2 = H, OH, Me, CH2OH, NH2) were prepared for use in treatment of coronary disease (no data). Thus, 3-MeSC6H4NHC(SMe):NH.HI was refluxed with H2NCH2CH2NH2 in MeOH, followed by treatment with NaOH to give I (R = 3-MeS, R1 = R2 = H), isolated as the hydrobromide.

L12 ANSWER 24 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1980:41944 CAPLUS
DN 92:41944
TI Substituted 2-phenyliminoimidazolidines and their acid addition salts
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Hoefke, Wolfgang; Pichler, Ludwig
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 20 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2806811	A1	19790823	DE 1978-2806811	19780217
	US 4213995	A	19800722	US 1979-11074	19790212
	BE 874253	A1	19790816	BE 1979-193532	19790216
	DK 7900691	A	19790818	DK 1979-691	19790216
	DK 146066	B	19830620		
	DK 146066	C	19831114		
	NL 7901242	A	19790821	NL 1979-1242	19790216
	AU 7944326	A1	19790823	AU 1979-44326	19790216
	AU 519357	B2	19811126		
	GB 2014983	A	19790905	GB 1979-5507	19790216
	GB 2014983	B2	19821103		
	FR 2417503	A1	19790914	FR 1979-4053	19790216
	FR 2417503	B1	19801010		
	JP 54122274	A2	19790921	JP 1979-17157	19790216
	ES 477785	A1	19800201	ES 1979-477785	19790216
PRAI	DE 1978-2806811	A	19780217		

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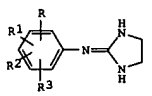

I

AB The antihypertensive (no data) compds. I (R = F, Cl, Br; R1 = F, Cl; R2 = H, F, Me, CH2OH; R3 = H, F, NO2, NH2) were prepared by the reaction of an isothiuronium salt with H2NCH2CH2NH2 (II). Thus, 2,6,4-Cl2(HOCH2)C6H2NHC(SMe):NH.HI was refluxed with II in BuOH to give 40.5% I (R = 2-Cl, R1 = 6-Cl, R2 = 4-CH2OH, R3 = H), isolated as the hydrochloride.

L12 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1979:121154 CAPLUS
DN 90:121154
TI An open-chain intermediate in syntheses of 2-(arylimino) imidazolidines
AU **Staehle, Helmut**; Foerster, Hans Joachim; Pook, Karl Heinz; Daniel, Helmut
CS C. H. Boehringer Sohn, Ingelheim, Fed. Rep. Ger.
SO Archiv der Pharmazie (Weinheim, Germany) (1978), 311(10), 839-42
CODEN: ARPMAS; ISSN: 0365-6233
DT Journal
LA German
OS CASREACT 90:121154
AB 2,6-Cl2C6H3N:C(NH2)NHCH2CH2NH2(I) was isolated from the reaction of ethylenediamine with 2,6-Cl2C6H3N:C(SMe)NH2.HI, 2,6-Cl2C6H3NHCN, or 2,6-Cl2C6H3N:C(NH2)2. I cyclized to clonidine on heating to 130°.

L12 ANSWER 26 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1978:509475 CAPLUS
DN 89:109475
TI Pharmaceutical 2-bromo-3-chloro-N-2-imidazolidinylenebenzamine and its acid addition salts
IN **Staehle, Helmut**; Hoefke, Wolfgang; Gaida, Wolfram; Stockhaus, Klaus; Boeke, Karin
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 9 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2658808	A1	19780706	DE 1976-2658808	19761224
	FI 7703559	A	19780625	FI 1977-3559	19771124
	ZA 7707198	A	19790829	ZA 1977-7198	19771205
	SU 679139	D	19790805	SU 1977-2557053	19771219
	DD 133944	C	19790131	DD 1977-202895	19771222
	AU 7731872	A1	19790628	AU 1977-31872	19771222
	BE 862305	A1	19780623	BE 1977-183833	19771223
	DK 7705777	A	19780625	DK 1977-5777	19771223
	SE 7714750	A	19780625	SE 1977-14750	19771223
	NL 7714352	A	19780627	NL 1977-14352	19771223
	NO 7704445	A	19780627	NO 1977-4445	19771223
	JP 53079867	A2	19780714	JP 1977-155452	19771223
	FR 2375217	A1	19780721	FR 1977-39050	19771223
	ES 465368	A1	19780916	ES 1977-465368	19771223
	ES 469551	A1	19781201	ES 1978-469551	19780508
	ES 469552	A1	19781201	ES 1978-469552	19780508
	ES 469553	A1	19781201	ES 1978-469553	19780508
	ES 469554	A1	19781201	ES 1978-469554	19780508
	ES 469555	A1	19781201	ES 1978-469555	19780508
PRAI	DE 1976-2658808	A	19761224		

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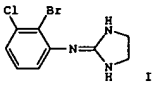

I

AB The antihypertensive title compound I was prepared in 71.3% yield by the reaction of an isothiuronium salt, e.g., 2,3-BrClC6H3NHC(SMe):NH.HI with H2NCH2CH2NH2. Eleven salts were also prepared I.HCl at 0.035 mg/kg lowered the blood pressure in rabbits by 20 mm for 180 min, compared to 0.01 mg/kg and 80 min for Clonidine-HCl.

L12 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1978:121184 CAPLUS
DN 88:121184
TI 2-Bromo-6-fluoro-N-2-imidazolidinylideneaniline
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Hoefke, Wolfgang
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 12 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2630060	A1	19780112	DE 1976-2630060	19760703
	DE 2630060	C2	19840419		
	US 4166859	A	19790904	US 1977-808409	19770620
	AT 7704387	A	19790615	AT 1977-4387	19770622
	AT 354436	B	19790110		
	FI 7701972	A	19780104	FI 1977-1972	19770623
	FI 64146	B	19830630		
	FI 64146	C	19831010		
	AU 7726620	A1	19790104	AU 1977-26620	19770630
	AU 507260	B2	19800207		
	CA 1088550	A1	19801028	CA 1977-281884	19770630
	CH 633273	A	19821130	CH 1977-8075	19770630
	BE 856395	A1	19780102	BE 1977-179022	19770701
	NO 7702329	A	19780103	NO 1977-2329	19770701
	NO 145951	B	19820322		
	NO 145951	C	19820630		
	DK 7702964	A	19780104	DK 1977-2964	19770701
	DK 146281	B	19830822		
	DK 146281	C	19840130		
	SE 7707689	A	19780104	SE 1977-7689	19770701
	SE 431871	B	19840305		
	SE 431871	C	19840614		
	NL 7707308	A	19780105	NL 1977-7308	19770701
	NL 188643	B	19920316		
	NL 188643	C	19920817		
	JP 53005164	A2	19780118	JP 1977-77979	19770701
	JP 60026108	B4	19850621		
	FR 2356642	A1	19780127	FR 1977-20343	19770701
	FR 2356642	B1	19850719		
	DD 132965	C	19781122	DD 1977-199844	19770701
	ZA 7703979	A	19790328	ZA 1977-3979	19770701
	SU 680644	D	19790815	SU 1977-2498148	19770701
	IL 52433	A1	19800916	IL 1977-52433	19770701
	GB 1575147	A	19800917	GB 1977-27655	19770701
	CS 204005	P	19810331	CS 1977-4397	19770701
	HU 22937	O	19820728	HU 1977-BO1672	19770701
	HU 180429	B	19830928		
	ES 460351	A1	19780816	ES 1977-460351	19770702
	ES 466850	A1	19781001	ES 1978-466850	19780210
	ES 466851	A1	19781001	ES 1978-466851	19780210
	ES 466852	A1	19781001	ES 1978-466852	19780210
	SU 665800	D	19790530	SU 1978-2589253	19780307
	AT 7809007	A	19790615	AT 1978-9007	19781218
	AT 354437	B	19790110		
	AT 7809008	A	19790615	AT 1978-9008	19781218
	AT 354438	B	19790110		
	AT 7809009	A	19790615	AT 1978-9009	19781218

L12 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

	AT 354439	B	19790110		
	CH 633275	A	19821130	CH 1981-5663	19810902
PRAI	DE 1976-2630060	A	19760703		
	AT 1977-4387	A	19770622		
	CH 1977-8075	A	19770630		

AB The title compound (I) and its pharmaceutically acceptable salts were prepared by the cyclization of 2,6-FBrC6H3NHC(SR):NH.HX (II; R = alkyl, X = halogen) with H2NCH2CH2NH2. Thus, II (R = Me, X = iodo) was refluxed with H2NCH2CH2NH2 in BuOH and the mixture treated with HCl to give 56.3% I HCl, which has antihypertensive activity comparable to that of clonidine, with fewer side effects, e.g., inhibition of gastric juice secretion.

L12 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1976:446684 CAPLUS
DN 85:46684
TI 2,6-Disubstituted 2-phenyliminoimidazolidines and their acid addition salts
IN **Staehle, Helmut**; Koeppe, Herbert; Kummer, Werner; Hoefke, Wolfgang
PA Boehringer, C. H., Sohn, Fed. Rep. Ger.
SO Ger. Offen., 25 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2446758	A1	19760422	DE 1974-2446758	19741001
	DE 2446758	C3	19790104		
	AT 7507228	A	19771115	AT 1975-7228	19750922
	SU 575026	D	19770930	SU 1975-2174605	19750923
	DD 123602	C	19770105	DD 1975-188613	19750929
	CS 193524	P	19791031	CS 1975-6573	19750929
	BE 834051	A1	19760330	BE 1975-160578	19750930
	DK 7504418	A	19760402	DK 1975-4418	19750930
	FI 7502728	A	19760402	FI 1975-2728	19750930
	FI 61883	B	19820630		
	FI 61883	C	19821011		
	NO 7503314	A	19760402	NO 1975-3314	19750930
	NO 143459	B	19801110		
	NO 143459	C	19810218		
	NL 7511490	A	19760405	NL 1975-11490	19750930
	JP 51059863	A2	19760525	JP 1975-118196	19750930
	JP 60018653	B4	19850511		
	ZA 7506185	A	19770629	ZA 1975-6185	19750930
	ES 441385	A1	19770801	ES 1975-441385	19750930
	PL 97003	P	19780131	PL 1975-183670	19750930
	GB 1515019	A	19780621	GB 1975-40012	19750930
	PL 98984	P	19780630	PL 1975-197816	19750930
	CA 1056836	A1	19790619	CA 1975-236670	19750930
	IL 48214	A1	19791031	IL 1975-48214	19750930
	CH 620682	A	19801215	CH 1975-12678	19750930
	HU 20949	O	19810928	HU 1975-BO1573	19750930
	HU 178469	P	19820528		
	SE 7511028	A	19760402	SE 1975-11028	19751001
	SE 418497	B	19810609		
	SE 418497	C	19810917		
	FR 2286649	A1	19760430	FR 1975-30117	19751001
	FR 2286649	B1	19790914		
	JP 62010989	B4	19870310	JP 1976-674	19760101
	ES 444900	A1	19770416	ES 1976-444900	19760204
	ES 444901	A1	19770416	ES 1976-444901	19760204
	ES 444889	A1	19770516	ES 1976-444889	19760204
	ES 444898	A1	19770516	ES 1976-444898	19760204
	AT 7704211	A	19790315	AT 1977-4211	19770615
	AT 352717	B	19791010		
	AT 7704212	A	19790315	AT 1977-4212	19770615
	AT 352718	B	19791010		
	AT 7704213	A	19790415	AT 1977-4213	19770615

L12 ANSWER 28 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

	AT 353265	B	19791112		
	AT 7704214	A	19790415	AT 1977-4214	19770615
	AT 353266	B	19791112		
	US 4125620	A	19781114	US 1977-850780	19771111
	CS 193550	P	19791031	CS 1978-4442	19780704
	CH 626352	A	19811113	CH 1980-5064	19800701
	CH 627452	A	19820115	CH 1980-5062	19800701
	CH 627453	A	19820115	CH 1980-5063	19800701
	CH 627454	A	19820115	CH 1980-5065	19800701
PRAI	DE 1974-2446758	A	19741001		
	AT 1975-7228	A	19750922		
	US 1975-615930	A2	19750923		
	CS 1975-6573	A3	19750929		
	CH 1975-12678	A	19750930		
	US 1976-720991	A2	19760907		

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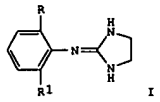

I

AB Antihypertensive (no data) phenyliminoimidazolidines I (R = R1 = F, OMe, OH, CF3; R = Me, R1 = Et, Br; R = Cl, R1 = F, Br, CF3) were prepared by condensing 2,6-RR1C6H3NHC(SMe):N+H2I- or 2,6-RR1C6H3N:CCl2 with H2NCH2CH2NH2.

L12 ANSWER 29 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1974:535302 CAPLUS
DN 81:135302
TI Proton and carbon-13 NMR structural studies of 2-(arylimino) **imidazolidines** and 2-(arylamino)imidazolines
AU Pook, Karl H.; **Staehle, Helmut**; Daniel, Helmut
CS Wiss. Abt., Firma C. H. Boehringer Sohn, Ingelheim, Fed. Rep. Ger.
SO Chemische Berichte (1974), 107(8), 2644-57
CODEN: CHBEAM; ISSN: 0009-2940
DT Journal
LA German
GI For diagram(s), see printed CA Issue.
AB Studies of the 1H- and 13C-NMR spectra of the imidazolines I (R = aryl; R1, R2 = H or Me) showed that I (R1 = H) existed preferentially as (arylimino)-**imidazolidines** and that the 13C-NMR was the more significant method.

L12 ANSWER 30 OF 30 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1973:136287 CAPLUS
DN 78:136287
TI 2-(Phenylimino)**imidazolidines** and their acid addition salts
IN **Staehle, Helmut**; Kolppe, Herbert; Kummer, Werner; Hoefke, Wolfgang
PA Boehringer, C. H., Sohn
SO Ger. Offen., 19 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2141818	A1	19730301	DE 1971-2141818	19710820
	AT 314531	B	19740410	AT 1972-6906	19720810
	US 3804833	A	19740416	US 1972-280774	19720815
	CH 593945	A	19771230	CH 1972-12154	19720816
	BE 787683	A1	19730219	BE 1972-121068	19720817
	NL 7211242	A	19730222	NL 1972-11242	19720817
	FR 2150808	A1	19730413	FR 1972-29732	19720818
	JP 48034877	A2	19730522	JP 1972-82663	19720818
	AU 7245751	A1	19740221	AU 1972-45751	19720818
	DK 131287	B	19750623	DK 1972-4111	19720818
	ES 405942	A1	19750701	ES 1972-405942	19720818
	GB 1402566	A	19750813	GB 1972-38709	19720818
	NO 135708	B	19770207	NO 1972-2983	19720818
	FI 54297	C	19781110	FI 1972-2306	19720818
PRAI	DE 1971-2141818	A	19710820		

AB The antihypertensive phenylaminoimidazolines I (R = Me, allyl, cyclopentyl, cyclohexyl, cycloheptyl; R1 = Cl, Me, Br; R2 = H, 3-Cl, 4-Me, 6-Cl, 6-Br) were prepared by cyclizing RNHCH2CH2NH2 with R1R2C6H3N:CCl2. II (R = Me, Et) were similarly obtained from RNHCH2CH2NHR. Thus, 44.4% I (R = alkyl, R1 = Cl, R2 = 6-Cl) were obtained by treating 2,6-Cl2C6N3N:CCl2 with CH2:CHCH2NHCH2CH2NH2 in the presence of NaOH.

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=> d his full

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L10         209 SEA ABB=ON  PLU=ON  "MURAMATSU IKUNOBU"/AU
L11         537 SEA ABB=ON  PLU=ON  L5 OR L6 OR L7 OR L8 OR L9 OR L10
L12          30 SEA ABB=ON  PLU=ON  L11 AND (?IMIDAZOLIDINE OR IMIDAZOLIDINE)
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                D 1-30 BIB ABS


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

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     DICTIONARY FILE UPDATES:  11 OCT 2005  HIGHEST RN 865062-68-6

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

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       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.

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     * The CA roles and document type information have been removed from *
     * the IDE default display format and the ED field has been added,   *
     * effective March 20, 2005.  A new display format, IDERL, is now    *
     * available and contains the CA role and document type information. *
     *                                                          *
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http://www.cas.org/ONLINE/UG/regprops.html

FILE CAPLUS

FILE COVERS 1907 - 12 Oct 2005 VOL 143 ISS 16
FILE LAST UPDATED: 11 Oct 2005 (20051011/ED)

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